```
=> d his
```

(FILE 'HOME' ENTERED AT 21:39:07 ON 20 DEC 2007)

FILE 'REGISTRY' ENTERED AT 21:39:16 ON 20 DEC 2007

L1 STRUCTURE UPLOADED

L2 23 S L1

L3 349 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 21:42:23 ON 20 DEC 2007

L4 11 S L3

L5 10 S L4 AND BROWN, W?/AU

FILE 'CAOLD' ENTERED AT 21:45:02 ON 20 DEC 2007

=> s 13

L6 0 L3

=>

```
chain nodes :
   7 8 9 10 23
ring nodes :
   1 2 3 4 5 6 11 12 13 14 15 16 17 18 19 20 21 22
chain bonds :
   3-7 6-10 7-8 7-9 10-11 10-20
ring bonds :
   1-2 1-6 2-3 3-4 4-5 5-6 11-12 11-16 12-13 13-14 14-15 15-16 17-18 17-22
   18-19 19-20 20-21 21-22
exact/norm bonds :
   7-8 7-9 17-18 17-22 18-19 19-20 20-21 21-22
exact bonds :
   3-7 6-10 10-11 10-20
normalized bonds :
   1-2 1-6 2-3 3-4 4-5 5-6 11-12 11-16 12-13 13-14 14-15 15-16
isolated ring systems :
   containing 1 : 11 : 17 :
Match level :
   1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
```

11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom

21:Atom 22:Atom 23:CLASS 24:Atom

## **EAST Search History**

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	1143	((546/234) or (546/212) or (546/214)).CCLS.	US-PGPUB; USPAT	OR	OFF	2007/12/20 18:12
L2	147	1 and benzamide and phenyl	US-PGPUB; USPAT	OR	OFF	2007/12/20 18:15
L3	174	(brown adj william.inv.)	US-PGPUB	OR	OFF	2007/12/20 18:35
L4	23	(griffin adj andrew.inv.)	US-PGPUB	OR	OFF	2007/12/20 18:36

12/20/07 6:38:18 PM Page 1

Connecting via Winsock to STN

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NEWS
                CAS REGISTRY enhanced with new experimental property tags
NEWS
        AUG 06
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        AUG 06
                FSTA enhanced with new thesaurus edition
                CA/CAplus enhanced with additional kind codes for granted
NEWS
        AUG 13
                 patents
NEWS
     5
        AUG 20
                 CA/CAplus enhanced with CAS indexing in pre-1907 records
NEWS
     6
        AUG 27
                Full-text patent databases enhanced with predefined
                 patent family display formats from INPADOCDB
                 USPATOLD now available on STN
NEWS
     7
        AUG 27
                CAS REGISTRY enhanced with additional experimental
NEWS
     8
        AUG 28
                 spectral property data
                 STN AnaVist, Version 2.0, now available with Derwent
NEWS
         SEP 07
                 World Patents Index
        SEP 13
                 FORIS renamed to SOFIS
NEWS 10
        SEP 13
NEWS 11
                 INPADOCDB enhanced with monthly SDI frequency
                 CA/CAplus enhanced with printed CA page images from
NEWS 12
        SEP 17
                 1967-1998
                CAplus coverage extended to include traditional medicine
NEWS 13
        SEP 17
                 patents
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        SEP 24
NEWS 14
NEWS 15
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                 Zentralblatt
        OCT 19
                BEILSTEIN updated with new compounds
NEWS 16
                Derwent Indian patent publication number format enhanced
NEWS 17
        NOV 15
NEWS 18 NOV 19 WPIX enhanced with XML display format
                ICSD reloaded with enhancements
        NOV 30
NEWS 19
NEWS 20 DEC 04 LINPADOCDB now available on STN
NEWS 21 DEC 14 BEILSTEIN pricing structure to change
                USPATOLD added to additional database clusters
NEWS 22 DEC 17
                 IMSDRUGCONF removed from database clusters and STN
NEWS 23
        DEC 17
                 DGENE now includes more than 10 million sequences
NEWS 24
        DEC 17
                 TOXCENTER enhanced with 2008 MeSH vocabulary in
NEWS 25
        DEC 17
                 MEDLINE segment
                 MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
NEWS 26
        DEC 17
         DEC 17
                 CA/CAplus enhanced with new custom IPC display formats
NEWS 27
        DEC 17
                 STN Viewer enhanced with full-text patent content
NEWS 28
                 from USPATOLD
              19 SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2,
NEWS EXPRESS
              CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
              AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.
              STN Operating Hours Plus Help Desk Availability
NEWS HOURS
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Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 19:36:05 ON 20 DEC 2007

=> file hcaplus
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

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FILE COVERS 1907 - 20 Dec 2007 VOL 147 ISS 26 FILE LAST UPDATED: 19 Dec 2007 (20071219/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s nitrogen () protecting () group?

676417 NITROGEN

4016 NITROGENS

679247 NITROGEN

(NITROGEN OR NITROGENS)

67802 PROTECTING

2397802 GROUP?

L1 123 NITROGEN (W) PROTECTING (W) GROUP?

=> s l1 and review/dt

2096984 REVIEW/DT

L2 2 L1 AND REVIEW/DT

=> d 12, ibib abs, 1-2

## 11203341

ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

· 2000:278673 HCAPLUS

DOCUMENT NUMBER:

133:73618

TITLE:

Nitrogen protecting groups

: recent developments and new applications

AUTHOR (S):

CORPORATE SOURCE:

Theodoridis, George Agricultural Products Group, FMC Corporation,

Princeton, NJ, 08543, USA

SOURCE:

Tetrahedron (2000), 56(16), 2339-2358

CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: DOCUMENT TYPE: Elsevier Science Ltd. Journal: General Review

LANGUAGE:

English

Review with 95 refs.

REFERENCE COUNT:

THERE ARE 123 CITED REFERENCES AVAILABLE FOR 123

THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

1997:522214 HCAPLUS

DOCUMENT NUMBER:

127:190656

TITLE:

C-N bond formation reactions via transition metal

catalysis

AUTHOR (S):

Tamaru, Yoshinao; Kimura, Masanari

CORPORATE SOURCE:

Faculty Engineering, Nagasaki University, Nagasaki,

852, Japan

SOURCE:

Synlett (1997), (7), 749-757 CODEN: SYNLES; ISSN: 0936-5214

PUBLISHER:

DOCUMENT TYPE:

Journal; General Review

LANGUAGE:

English

Thieme

A review with .apprx.40 refs. on the authors' recent studies. The amination of acetylenic, olefinic, and allenic  $\pi$ -bonds ca be achieved catalytically with resp. to transition metals by the proper choice of transition metals, nitrogen protecting groups

, and the reaction medium. The amination of C-C multiple bonds is a viable process to prepare a wide variety of nitrogen heterocycles. The amination, coupled with either carbonylation or allylation produces a variety of functionalized nitrogen heterocycles, which might be utilized as synthetic intermediates of  $\alpha\text{-}$  and  $\beta\text{-}amino$  acids and unsatd. amines with stereochem. defined structures.

Welcome to STN International! Enter x:x

LOGINID:ssspta1612bxr

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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     2 AUG 06 CAS REGISTRY enhanced with new experimental property tags
NEWS
     3 AUG 06
NEWS
                FSTA enhanced with new thesaurus edition
     4 AUG 13 CA/CAplus enhanced with additional kind codes for granted
NEWS
                patents
     5 AUG 20
NEWS
                CA/CAplus enhanced with CAS indexing in pre-1907 records
NEWS
     6 AUG 27
                Full-text patent databases enhanced with predefined
                patent family display formats from INPADOCDB
NEWS
        AUG 27
                USPATOLD now available on STN
NEWS
     8 AUG 28
                CAS REGISTRY enhanced with additional experimental
                 spectral property data
NEWS 9
        SEP 07
                STN AnaVist, Version 2.0, now available with Derwent
                World Patents Index
                FORIS renamed to SOFIS
NEWS 10 SEP 13
NEWS 11 SEP 13
                INPADOCDB enhanced with monthly SDI frequency
NEWS 12 SEP 17
                CA/CAplus enhanced with printed CA page images from
                 1967-1998
NEWS 13 SEP 17
                CAplus coverage extended to include traditional medicine
                EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS 14 SEP 24
NEWS 15 OCT 02 CA/CAplus enhanced with pre-1907 records from Chemisches
                Zentralblatt
NEWS 16 OCT 19 BEILSTEIN updated with new compounds
NEWS 17 NOV 15 Derwent Indian patent publication number format enhanced
NEWS 18 NOV 19 WPIX enhanced with XML display format
NEWS 19 NOV 30 ICSD reloaded with enhancements
NEWS 20 DEC 04 LINPADOCDB now available on STN
NEWS 21 DEC 14
                BEILSTEIN pricing structure to change
NEWS 22 DEC 17
                USPATOLD added to additional database clusters
NEWS 23 DEC 17
                IMSDRUGCONF removed from database clusters and STN
NEWS 24 DEC 17
                DGENE now includes more than 10 million sequences
NEWS 25 DEC 17
                TOXCENTER enhanced with 2008 MeSH vocabulary in
                MEDLINE segment
NEWS 26 DEC 17
                MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
NEWS 27
        DEC 17
                CA/CAplus enhanced with new custom IPC display formats
NEWS 28
        DEC 17
                STN Viewer enhanced with full-text patent content
                 from USPATOLD
NEWS EXPRESS
             19 SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2,
             CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
             AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.
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COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

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STRUCTURE FILE UPDATES: 19 DEC 2007 HIGHEST RN 958936-22-6 DICTIONARY FILE UPDATES: 19 DEC 2007 HIGHEST RN 958936-22-6

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TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

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http://www.cas.org/support/stngen/stndoc/properties.html

=>

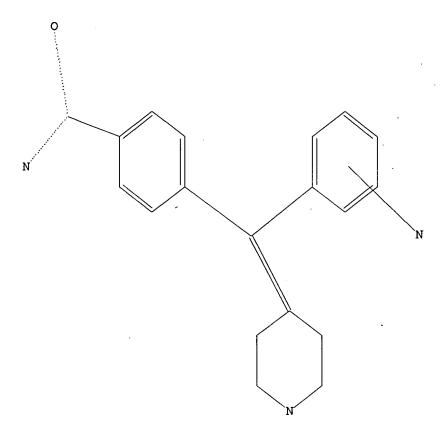
Uploading C:\Documents and Settings\brobinson1\My Documents\stnweb\Queries\azxb.str

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 21:42:16 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 70 TO ITERATE

100.0% PROCESSED

70 ITERATIONS

23 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS:

899 TO 1901

PROJECTED ANSWERS:

173 TO 747

L2

23 SEA SSS SAM L1

=> s 11 full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 171.65 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y FULL SEARCH INITIATED 21:42:20 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 1182 TO ITERATE

100.0% PROCESSED

1182 ITERATIONS

349 ANSWERS

SEARCH TIME: 00.00.01

L3

349 SEA SSS FUL L1

Updated Search

=> file hcaplus COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE TOTAL SESSION ENTRY 173.90 174.11

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13

11 L3 L4

=> s 14 and brown, w?/au 4180 BROWN, W?/AU

L5 10 L4 AND BROWN, W?/AU

 $\Rightarrow$  d 15, ibib abs hitstr, 1-11

ANSWER 1 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:638846 HCAPLUS

DOCUMENT NUMBER: 143:153295

TITLE: Preparation of diarylmethylidenylpiperidines for the

management of pain

Brown, William; Griffin, Andrew; Walpole, INVENTOR(S):

Christopher

PATENT ASSIGNEE(S): Astrazeneca AB, Swed. SOURCE: PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.					KIND DATE			i	APPL:	ICAT:	DATE							
	WO 2005066128				A1 20050			0721	ī	WO 2		20050105						
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			CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
			GE.	GH.	GM.	HR.	HU.	TD.	TT.	TN.	TS.	JP.	KE.	KG.	KP.	KR.	K7	T.C.

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              NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
              TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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             MR, NE, SN, TD, TG
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                                                                         20060809
PRIORITY APPLN. INFO.:
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                                                                        20040109
                                                                     Α
                                               WO 2005-SE13
                                                                        20050105
                                                                     W
                           CASREACT 143:153295; MARPAT 143:153295
OTHER SOURCE(S):
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\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [R1 = (un)substituted aryl, heteroaryl; R2 = alkyl, H; R3 = H, COR4, SO2R4, etc.; R4 = H, alkyl, alkenyl, etc.] and their pharmaceutically acceptable salts were prepared For example, N-acetylation of aniline II (R2 = H) with acetic anhydride afforded the TFA salt of diarylmethylidenylpiperidine II (R2 = COCH3) in 100% yield. In human δ receptor assays, certain examples of compds. I exhibited IC50 values ranging from 0.22-2.34 nM, with an average of 0.98 nM (sic).

859911-39-0P RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of diarylmethylidenylpiperidines for the management of pain)  $859911-39-0\ \ \text{HCAPLUS}$ 

CN Benzamide, 4-[(4-aminophenyl)[1-(phenylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN

IT 859911-40-3P, 4-[[4-(Acetylamino)phenyl](1-benzylpiperidin-4ylidene)methyl]-N, N-diethylbenzamide 859911-41-4P 859911-42-5P, 4-[[4-(Acetylamino)phenyl][1-(pyridin-2ylmethyl)piperidin-4-ylidene]methyl]-N, N-diethylbenzamide 859911-43-6P 859911-44-7P 859911-45-8P 859911-46-9P 859911-47-0P 859911-48-1P, 4-[[4-(Acetylamino)phenyl][1-(1,3-thiazol-4-ylmethyl)piperidin-4ylidene]methyl]-N,N-diethylbenzamide 859911-49-2P 859911-50-5P, 4-[(1-Benzylpiperidin-4-ylidene)[4-[(methylsulfonyl)amino]phenyl]methyl]-N,N-diethylbenzamide 859911-51-6P 859911-52-7P 859911-53-8P 859911-54-9P, 4-[[4-(Acetylamino)phenyl][1-(2fluorobenzyl)piperidin-4-ylidene]methyl]-N,N-diethylbenzamide 859911-55-0P 859911-56-1P 859911-57-2P 859911-58-3P, 4-[(4-Aminophenyl)(1-benzylpiperidin-4ylidene) methyl] -N, N-diethylbenzamide RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of diarylmethylidenylpiperidines for the management of pain) 859911-40-3 HCAPLUS RN CN Benzamide, 4-[[4-(acetylamino)phenyl][1-(phenylmethyl)-4piperidinylidene]methyl]-N, N-diethyl- (CA INDEX NAME)

RN 859911-41-4 HCAPLUS
CN Benzamide, 4-[[4-(acetylamino)phenyl][1-(phenylmethyl)-4piperidinylidene]methyl]-N,N-diethyl-, mono(trifluoroacetate) (9CI) (CA
INDEX NAME)

CM 1

CRN 859911-40-3 CMF C32 H37 N3 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 859911-42-5 HCAPLUS

CN Benzamide, 4-[[4-(acetylamino)phenyl][1-(2-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 859911-43-6 HCAPLUS

CN Benzamide, 4-[[4-(acetylamino)phenyl][1-(2-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 859911-42-5 CMF C31 H36 N4 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

Updated Search

RN 859911-44-7 HCAPLUS

CN Benzamide, 4-[[4-(acetylamino)phenyl][1-(3-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 859911-45-8 HCAPLUS

CN Benzamide, 4-[[4-(acetylamino)phenyl][1-(4-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 859911-46-9 HCAPLUS

CN Benzamide, 4-[[4-(acetylamino)phenyl][1-(1,2,3-thiadiazol-5-ylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 859911-47-0 HCAPLUS

CN Benzamide, 4-[[4-(acetylamino)phenyl][1-(5-thiazolylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 859911-48-1 HCAPLUS

CN Benzamide, 4-[[4-(acetylamino)phenyl][1-(4-thiazolylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 859911-49-2 HCAPLUS

CN Benzamide, 4-[[4-(acetylamino)phenyl][1-(4-thiazolylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 859911-48-1 CMF C29 H34 N4 O2 S

CM. 2

CRN 76-05-1 CMF C2 H F3 O2

RN 859911-50-5 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[4-[(methylsulfonyl)amino]phenyl][1-(phenylmethyl)-4-piperidinylidene]methyl]- (CA INDEX NAME)

RN 859911-51-6 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[4-[(methylsulfonyl)amino]phenyl][1-(phenylmethyl)-4-piperidinylidene]methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 859911-50-5 CMF C31 H37 N3 O3 S

$$\begin{array}{c|c} & \text{Ph-CH}_2 \\ & & \\ \text{O} \\ & \\ \text{Me-S-NH} \\ & \\ \text{O} \end{array}$$

CRN 76-05-1 CMF C2 H F3 O2

RN 859911-52-7 HCAPLUS

CN Carbamic acid, [4-[[4-[(diethylamino)carbonyl]phenyl][1-(phenylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 859911-53-8 HCAPLUS

CN Carbamic acid, [4-[[4-[(diethylamino)carbonyl]phenyl][1-(phenylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 859911-52-7 CMF C32 H37 N3 O3

CRN . 76-05-1 CMF C2 H F3 O2

RN 859911-54-9 HCAPLUS

CN Benzamide, 4-[[4-(acetylamino)phenyl][1-[(2-fluorophenyl)methyl]-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 859911-55-0 HCAPLUS

CN Benzamide, 4-[[4-(acetylamino)phenyl][1-[(2-fluorophenyl)methyl]-4-piperidinylidene]methyl]-N,N-diethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 859911-54-9 CMF C32 H36 F N3 O2

CRN 76-05-1 CMF C2 H F3 O2

RN 859911-56-1 HCAPLUS

CN Benzamide, 4-[[4-(acetylamino)phenyl][1-[(3-fluorophenyl)methyl]-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 859911-57-2 HCAPLUS

CN Benzamide, 4-[[4-(acetylamino)phenyl][1-[(4-fluorophenyl)methyl]-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 859911-58-3 HCAPLUS

CN Benzamide, 4-[(4-aminophenyl)[1-(phenylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

CN Benzamide, 4-[[4-(acetylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

RN 859911-60-7 HCAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-aminophenyl)[4[(diethylamino)carbonyl]phenyl]methylene]-, 1,1-dimethylethyl ester (CF
INDEX NAME)

RN 859911-61-8 HCAPLUS
CN Carbamic acid, [4-[[4-[(diethylamino)carbonyl]phenyl]-4piperidinylidenemethyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

2 REFERENCE COUNT: THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2005:638845 HCAPLUS

DOCUMENT NUMBER:

143:153294

TITLE:

Preparation of diarylmethylidenylpiperidines for the

ADDITCATION NO

חשתה

management of pain

INVENTOR(S):

Brown, William; Griffin, Andrew

PATENT ASSIGNEE(S): SOURCE:

Astrazeneca AB, Swed. PCT Int. Appl., 67 pp.

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CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

1

KIND

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION: DAMENIA NO

PA	PATENT NO.						KIND DATE			APPL	DATE						
WO	WO 2005066127				A1 20050721				<b>-</b> WO 2	005-	20050105						
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
		ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	ΤZ,	UG,	ZM,	ZW,	AM,
		ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IS,	IT,	LT,	LU,	MC,	NL,	PL,	PT,
		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,
		MR,	NE,	SN,	TD,	TG											
ΑÜ	AU 2005204008						2005	0721		AU 2	005-		20050105				
CA	CA 2552946				A1		2005	0721		CA 2	005-		20050105				
EP	EP 1706379			A1 20061004					EP 2	005-		20050105					
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		IE,	SI,	LT,	LV,	FΙ,	RO,	CY,								HR,	IS
	CN 1926106						2007	0307		CN 2	005-		20050105				
	BR 2005006695						2007	0502		BR 2							
JP	JP 2007517871						2007	0705					20050105				
IN	IN 2006DN03740						2007	0420		IN 2	006-		20060629				
MX	MX 2006PA07663						2006			MX 2							
NO	NO 2006003618					A 20061009				NO 2							
US	US 2007219249						2007	0920		US 2	006-	5968	50		2	0061	208
PRIORIT	PRIORITY APPLN. INFO.:									SE 2					A 2		
										WO 2					₩ 2	0050	105
OTHER S	THER SOURCE(S):					REAC	т 14	3:15	3294	; MA	RPAT	143	:153	294			
GI	GI																

<sup>\*</sup> STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [R1, R3 = H, alkyl, cycloalkyl, etc.; R2 = alkyl, alkenyl, cycloalkyl, etc.] and their pharmaceutically acceptable salts were prepared For example, N-alkylation of piperidine II (R2 = H) with 1-iodopropane afforded the TFA salt of diarylmethylidenylpiperidine II (R2 = CH2CH2CH3) in 54% yield. In human  $\delta$  receptor assays, certain examples of compds. I exhibited IC50 values ranging from 0.18-3.7 nM, with an average of

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0.56 nM (sic).
IT
     859911-03-8P 859911-04-9P 859911-05-0P
     859911-06-1P 859911-07-2P 859911-08-3P
     859911-09-4P 859911-10-7P 859911-11-8P
     859911-12-9P 859911-13-0P 859911-14-1P
     859911-15-2P 859911-16-3P 859911-17-4P
     859911-18-5P 859911-19-6P 859911-20-9P
     859911-21-0P 859911-22-1P 859911-23-2P
     859911-24-3P 859911-25-4P 859911-26-5P
     859911-27-6P 859911-28-7P 859911-29-8P
     859911-30-1P 859911-31-2P 859911-32-3P
     859911-33-4P 859911-34-5P 859911-35-6P,
     [3-[[4-[(Diethylamino)carbonyl]phenyl][1-(2-methoxyethyl)-4-
     piperidinylidene]methyl]phenyl]carbamic acid methyl ester
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation of diarylmethylidenylpiperidines for the management of pain)
RN
     859911-03-8 HCAPLUS
CN
     Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl](1-propyl-4-
     piperidinylidene)methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)
```

Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl](1-propyl-4-piperidinylidene)methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

CM 1

CRN 859911-03-8 CMF C28 H37 N3 O3

CRN 76-05-1 CMF C2 H F3 O2

RN 859911-05-0 HCAPLUS

CN Carbamic acid, [3-[[1-(cyclopropylmethyl)-4-piperidinylidene][4[(diethylamino)carbonyl]phenyl]methyl]phenyl]-, methyl ester (9CI) (CA
INDEX NAME)

RN 859911-06-1 HCAPLUS

CN Carbamic acid, [3-[[1-(cyclopropylmethyl)-4-piperidinylidene][4-[(diethylamino)carbonyl]phenyl]methyl]phenyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 859911-05-0 CMF C29 H37 N3 O3

CRN 76-05-1 CMF C2 H F3 O2

RN 859911-07-2 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl](1-pentyl-4-piperidinylidene)methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me}-\text{(CH2)}\,4\\ \hline \\ N\\ C\\ \hline \\ NH-C-\text{OMe} \end{array}$$

RN 859911-08-3 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl](1-pentyl-4-piperidinylidene)methyl]phenyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 859911-07-2 CMF C30 H41 N3 O3

CRN 76-05-1 CMF C2 H F3 O2

RN 859911-09-4 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl](1-propyl-4-piperidinylidene)methyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 859911-10-7 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl](1-propyl-4-piperidinylidene)methyl]phenyl]-, ethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 859911-09-4 CMF C29 H39 N3 O3

CRN 76-05-1 CMF C2 H F3 O2

RN 859911-11-8 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-(2-methoxyethyl)-4-piperidinylidene]methyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME).

$$\begin{array}{c|c} \text{MeO-} \text{CH}_2 - \text{CH}_2 \\ \hline \\ \text{N} \\ \hline \\ \text{EtO-} \text{C-} \text{NH} \\ \hline \end{array}$$

RN 859911-12-9 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-(2-methoxyethyl)-4-piperidinylidene]methyl]phenyl]-, ethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 859911-11-8 CMF C29 H39 N3 O4

$$\begin{array}{c|c} \text{MeO-CH}_2-\text{CH}_2\\ \hline \\ \text{N} \\ \hline \\ \text{EtO-C-NH} \\ \hline \\ \end{array}$$

CRN 76-05-1 CMF C2 H F3 O2

RN 859911-14-1 HCAPLUS

CN Carbamic acid, [3-[(1-butyl-4-piperidinylidene)[4-[(diethylamino)carbonyl]phenyl]methyl]phenyl]-, ethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 859911-13-0 CMF C30 H41 N3 O3

CRN 76-05-1 CMF C2 H F3 O2

RN 859911-15-2 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl]][1-[2-(1-methylethoxy)ethyl]-4-piperidinylidene]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{i-PrO-CH}_2-\text{CH}_2\\ \hline \\ \text{N}\\ \text{O}\\ \\ \text{MeO-C-NH}\\ \end{array}$$

RN 859911-16-3 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl]][1-[2-(1-methylethoxy)ethyl]-4-piperidinylidene]methyl]phenyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 859911-15-2 CMF C30 H41 N3 O4

$$\begin{array}{c|c} \text{i-PrO-CH}_2-\text{CH}_2\\ \\ \text{N}\\ \\ \text{MeO-C-NH}\\ \\ \end{array}$$

CRN 76-05-1 CMF C2 H F3 O2

RN 859911-17-4 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-(2-ethoxyethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{EtO-CH}_2\text{-CH}_2\\ \hline \\ N\\ \text{C}\\ \hline \\ NH-C-OMe\\ \\ \end{array}$$

RN 859911-18-5 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-(2-ethoxyethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 859911-17-4 CMF C29 H39 N3 O4

$$\begin{array}{c|c} \text{EtO-CH}_2-\text{CH}_2\\ \hline \\ N\\ \text{C} \\ \hline \\ NH-C-OMe\\ \\ \\ O\\ \end{array}$$

CRN 76-05-1 CMF C2 H F3 O2

RN 859911-19-6 HCAPLUS

CN Carbamic acid, [3-[(1-butyl-4-piperidinylidene)[4-[(diethylamino)carbonyl]phenyl]methyl]phenyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & &$$

● HCl

RN 859911-20-9 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-(3-methoxypropyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 859911-21-0 HCAPLUS
CN Carbamic acid, [3-[[1-(cyclobutylmethyl)-4-piperidinylidene][4[(diethylamino)carbonyl]phenyl]methyl]phenyl]-, methyl ester (9CI) (CA
INDEX NAME)

RN 859911-22-1 HCAPLUS

CN Carbamic acid, [3-[[1-(cyclobutylmethyl)-4-piperidinylidene][4-[(diethylamino)carbonyl]phenyl]methyl]phenyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 859911-21-0 CMF C30 H39 N3 O3

CRN 76-05-1 CMF C2 H F3 O2

RN 859911-23-2 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl](1-methyl-4-piperidinylidene)methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 859911-24-3 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl](1-methyl-4-piperidinylidene)methyl]phenyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 859911-23-2 CMF C26 H33 N3 O3

CRN 76-05-1 CMF C2 H F3 O2

RN 859911-25-4 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl](1-ethyl-4-piperidinylidene)methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 859911-26-5 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl](1-ethyl-4-piperidinylidene)methyl]phenyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 859911-25-4 CMF C27 H35 N3 O3

CRN 76-05-1 CMF C2 H F3.02

RN 859911-27-6 HCAPLUS

CN Carbamic acid, [3-[[1-(cyclopropylmethyl)-4-piperidinylidene][4-[(diethylamino)carbonyl]phenyl]methyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 859911-28-7 HCAPLUS

CN Carbamic acid, [3-[[1-(cyclopropylmethyl)-4-piperidinylidene][4-[(diethylamino)carbonyl]phenyl]methyl]phenyl]-, ethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 859911-27-6 CMF C30 H39 N3 O3

CRN 76-05-1 CMF C2 H F3 O2

RN 859911-29-8 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl](1-methyl-4-piperidinylidene)methyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 859911-30-1 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl](1-methyl-4-piperidinylidene)methyl]phenyl]-, ethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 859911-29-8 CMF C27 H35 N3 O3

CRN 76-05-1 CMF C2 H F3 O2

RN 859911-31-2 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl](1-ethyl-4-piperidinylidene)methyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 859911-32-3 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl](1-ethyl-4-piperidinylidene)methyl]phenyl]-, ethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 859911-31-2 CMF C28 H37 N3 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 859911-33-4 HCAPLUS

CN Carbamic acid, [3-[(1-butyl-4-piperidinylidene)[4[(diethylamino)carbonyl]phenyl]methyl]phenyl]-, methyl ester (9CI) (CA
INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & &$$

RN 859911-34-5 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-(3-methoxypropyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

859911-35-6 HCAPLUS RN

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-(2-methoxyethyl)-4piperidinylidene]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{MeO-CH}_2-\text{CH}_2\\ \hline \\ N\\ \text{C} \\ \hline \\ NH-C-OMe \\ \\ \end{array}$$

IT 725229-84-5P, 4-[(3-Aminophenyl)[4-[(diethylamino)carbonyl]phenyl] methylene]-1-piperidinecarboxylic acid 1,1-dimethylethyl ester 725229-85-6P 859911-37-8P 859911-38-9P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of diarylmethylidenylpiperidines for the management of pain) RN725229-84-5 HCAPLUS CN 1-Piperidinecarboxylic acid, 4-[(3-aminophenyl)[4-[(diethylamino)carbonyl]phenyl]methylene]-, 1,1-dimethylethyl ester (CA

INDEX NAME)

RN725229-85-6 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl]-4piperidinylidenemethyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ & & & \\ & & \\ & & \\ & & & \\ & & \\ & & & \\ & & \\ & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 859911-37-8 HCAPLUS

CN Benzamide, 4-[(3-aminophenyl)[1-(2-methoxyethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{MeO-CH}_2\text{-CH}_2 \\ & \text{N} \\ & \text{C-NEt}_2 \end{array}$$

RN 859911-38-9 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2004:1016017 HCAPLUS

DOCUMENT NUMBER:

142:6430

TITLE:

Preparation of diarylmethylidene piperidine derivatives as opioid  $\boldsymbol{\delta}$  receptor ligands for

treating pain, anxiety and functional gastrointestinal

disorders

INVENTOR(S):

Brown, William L.; Griffin, Andrew; Jin,

Shujuan

PATENT ASSIGNEE(S):

Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 131 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE: FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA'		KIND		DATE		APPLICATION NO.												
WO	2004					WO 2004-GB2074												
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	ВG,	BR,	BW,	BY,	ΒZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
	•	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	ΚZ,	LC,	
		•	•	•	•	•	LV.,	•		•	•	•	•	•	•	•	•	
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
		ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	ŪG,	US,	UZ,	VC,	VN,	ΥU,	ZA,	ZM,	ZW	
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	
			•		•		RU,			•		•	•	•	•			
		•	•	•	•	•	GR,	•	•	•	•	•	•	•	•	•	•	
		•	•	•	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	
			TD,															
									AU 2004-238618									
	2525860								CA 2004-2525860									
EP	P 1641757						EP 2004-732665				·							
	R:	•	•	•	•	•	ES,	•		•	•	•	•	•	•	•	•	
							RO,											HR
									BR 2004-10347									
	CN 1823040				A				CN 2004-80020330									
	JP 2007503457								JP 2006-530500									
US 2007099957								US 2005-555980										
MX 2005PA12117																		
	NO 2005005998				Α		2006	0213										
KTORTT	IORITY APPLN. INFO.:															0030		
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THER SO	ER SOURCE(S):				MAK.	PAT	142:	0430										

GΙ

AB The title compds. [I; R1 = H, (un)substituted alkyl, aryl, etc.; R2-R4 = H, (un)substituted alkyl, cycloalkyl; R7 = H, OH, alkyl, etc.] which are useful in therapy, in particular in the management of pain, were prepared E.g., a multi-step synthesis of I [R1 = H; R2, R3 = Et; R4 = COPh; R7 = H], starting from Me 4-(bromomethyl)benzoate, was given. The compds. I were found to be active toward human  $\delta$  receptors. Generally, for most of the compds. I the IC50 values are in the range of 0.48 nM to 17.9

Ι

nM. The pharmaceutical composition comprising the compound I is disclosed.

798549-77-6P 798549-78-7P 798549-83-4P

798549-93-6P 798549-94-7P 798549-95-8P

798549-96-9P

IT

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of diarylmethylidene piperidine derivs. as opioid  $\delta$  receptor ligands for treating pain, anxiety and functional gastrointestinal disorders)

RN 798549-77-6 HCAPLUS

CN Benzamide, 4-[[2-(acetylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

RN 798549-78-7 HCAPLUS

CN Carbamic acid, [2-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 798549-83-4 HCAPLUS

CN Benzamide, 4-[(2-aminophenyl)[1-(4-thiazolylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 798549-93-6 HCAPLUS

CN Benzamide, 4-[(2-aminophenyl)(1-butyl-4-piperidinylidene)methyl]-N,N-diethyl- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & & \\ & & & & & & \\ n-Bu & & & & & \\ & & & & & \\ & & & & & \\ \end{array}$$

RN 798549-94-7 HCAPLUS

CN Benzamide, 4-[(2-aminophenyl)[1-(4-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 798549-95-8 HCAPLUS

CN Benzamide, 4-[(2-aminophenyl)[1-(3-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 798549-96-9 HCAPLUS

CN Benzamide, 4-[(2-aminophenyl)[1-(2-pyridinylmethyl)-4-

Updated Search

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H<sub>2</sub>N
Et<sub>2</sub>N-C
                            CH2
IT
     798549-16-3P 798549-17-4P 798549-18-5P
     798549-19-6P 798549-21-0P 798549-22-1P
     798549-23-2P 798549-24-3P 798549-25-4P
     798549-26-5P 798549-27-6P 798549-28-7P
     798549-29-8P 798549-30-1P 798549-31-2P
     798549-32-3P 798549-33-4P 798549-34-5P
     798549-35-6P 798549-36-7P 798549-37-8P
     798549-38-9P 798549-39-0P 798549-40-3P
     798549-41-4P 798549-42-5P 798549-43-6P
     798549-44-7P 798549-45-8P 798549-46-9P
     798549-47-0P 798549-48-1P 798549-49-2P
     798549-50-5P 798549-51-6P 798549-52-7P
     798549-53-8P 798549-54-9P 798549-55-0P
     798549-56-1P 798549-57-2P 798549-58-3P
     798549-59-4P 798549-60-7P 798549-61-8P
     798549-62-9P 798549-63-0P 798549-64-1P
     798549-65-2P 798549-66-3P 798549-67-4P
     798549-68-5P 798549-69-6P 798549-70-9P
     798549-71-0P 798549-72-1P 798549-73-2P
     798549-74-3P 798549-75-4P 798549-76-5P
     798549-79-8P 798549-80-1P 798549-81-2P
     798549-82-3P 798549-84-5P 798549-85-6P
     798549-86-7P 798549-87-8P 798549-88-9P
     798549-89-0P 798549-90-3P 798549-91-4P
     798549-92-5P 798549-97-0P 798549-98-1P
     798549-99-2P 798550-00-2P 798550-01-3P
     798550-02-4P 798550-03-5P 798550-04-6P
     798550-05-7P 798550-06-8P 798550-07-9P
     798550-08-0P 798550-09-1P 798550-10-4P
     798550-11-5P 798550-12-6P 798550-13-7P
     798550-14-8P 798550-15-9P 798550-16-0P
     798550-17-1P 798550-25-1P 798550-26-2P
     798550-27-3P 798550-28-4P 798550-29-5P
     798550-30-8P 798550-31-9P 798550-32-0P
     798550-33-1P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation of diarylmethylidene piperidine derivs. as opioid \delta
        receptor ligands for treating pain, anxiety and functional
        gastrointestinal disorders)
RN
     798549-16-3 HCAPLUS
CN
     Benzamide, 4-[[2-(benzoylamino)phenyl]-4-piperidinylidenemethyl]-N,N-
```

diethyl- (CA INDEX NAME)

RN 798549-17-4 HCAPLUS

CN Benzamide, 4-[[2-(benzoylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (5:6) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-16-3 CMF C30 H33 N3 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 798549-18-5 HCAPLUS

CN Benzeneacetamide, N-[2-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]- (CA INDEX NAME)

RN 798549-19-6 HCAPLUS

CN Benzeneacetamide, N-[2-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-, trifluoroacetate (10:11) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-18-5 CMF C31 H35 N3 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 798549-21-0 HCAPLUS

CN Benzamide, 4-[[2-[(cyclohexylcarbonyl)amino]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

RN 798549-22-1 HCAPLUS

CN Benzamide, 4-[[2-[(cyclohexylcarbonyl)amino]phenyl]-4piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (5:8) (9CI) (CF
INDEX NAME)

CM 1

CRN 798549-21-0 CMF C30 H39 N3 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 798549-23-2 HCAPLUS

CN Benzenepropanamide, N-[2-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{Ph-CH}_2\text{-CH}_2\text{-C} \\ \\ \text{O} \\ \\ \text{Et}_2\text{N-C} \\ \\ \\ \text{NH} \\ \\ \\ \text{H} \end{array}$$

RN 798549-24-3 HCAPLUS

CN Benzenepropanamide, N-[2-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-, trifluoroacetate (5:7) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-23-2 CMF C32 H37 N3 O2

$$\begin{array}{c|c} Ph-CH_2-CH_2-C \\ \hline \\ O \\ \hline \\ Et_2N-C \\ \hline \\ NH \\ H \\ \end{array}$$

CM 2

RN 798549-25-4 HCAPLUS

CN Benzamide, 4-[[2-[(cyclohexylacetyl)amino]phenyl]-4piperidinylidenemethyl]-N,N-diethyl- (9CI) (CA INDEX NAME)

RN 798549-26-5 HCAPLUS

CN Benzamide, 4-[[2-[(cyclohexylacetyl)amino]phenyl]-4piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (5:8) (9CI) (CA
INDEX NAME)

CM 1

CRN 798549-25-4 CMF C31 H41 N3 O2

$$\begin{array}{c|c} & & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

CM 2

RN 798549-27-6 HCAPLUS CN Benzamide, N,N-diethyl-4-[[2-[(2-pher

Benzamide, N,N-diethyl-4-[[2-[(2-phenylethyl)amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O \\ \parallel \\ Et_2N-C \\ \hline \end{array}$$

RN 798549-28-7 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[2-[(2-phenylethyl)amino]phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (5:6) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-27-6 CMF C31 H37 N3 O

$$\begin{array}{c} \circ \\ \parallel \\ \text{Et}_2 \text{N} - \text{C} \\ \hline \end{array}$$

Updated Search

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 798549-29-8 HCAPLUS

CN Benzamide, 4-[[2-[(cyclohexylmethyl)amino]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

RN 798549-30-1 HCAPLUS

CN Benzamide, 4-[[2-[(cyclohexylmethyl)amino]phenyl]-4piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (5:7) (9CI) (CA
INDEX NAME)

CM 1

CRN 798549-29-8 CMF C30 H41 N3 O

$$\begin{array}{c|c} & & & & \\ & & & & \\ \text{Et}_2\text{N}-\text{C} & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

CM 2

CRN 76-05-1

CMF C2 H F3 O2

RN 798549-31-2 HCAPLUS
CN Benzamide, N,N-diethyl-4-[[2-[(phenylmethyl)amino]phenyl]-4 piperidinylidenemethyl]- (CA INDEX NAME)

RN 798549-32-3 HCAPLUS
CN Benzamide, N,N-diethyl-4-[[2-[(phenylmethyl)amino]phenyl]-4piperidinylidenemethyl]-, trifluoroacetate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-31-2 CMF C30 H35 N3 O

CM 2

RN 798549-33-4 HCAPLUS

CN Benzamide, 4-[[2-(cyclohexylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

RN 798549-34-5 HCAPLUS

CN Benzamide, 4-[[2-(cyclohexylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (5:9) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-33-4 CMF C29 H39 N3 O

CM 2

RN 798549-35-6 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[2-[[(phenylamino)carbonyl]amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

RN 798549-36-7 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[2-[[(phenylamino)carbonyl]amino]phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (10:19) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-35-6 CMF C30 H34 N4 O2

CM 2

RN 798549-37-8 HCAPLUS
CN Benzamide, N,N-diethyl-4-[[2-(phenylamino)phenyl]-4piperidinylidenemethyl]- (CA INDEX NAME)

RN 798549-38-9 HCAPLUS
CN Benzamide, N,N-diethyl-4-[[2-(phenylamino)phenyl]-4piperidinylidenemethyl]-, trifluoroacetate (10:11) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-37-8 CMF C29 H33 N3 O

CM 2

RN 798549-39-0 HCAPLUS
CN Benzamide, N,N-diethyl-4-[[2-(methylphenylamino)phenyl]-4piperidinylidenemethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & Ph \\ \parallel & \parallel \\ Et_2N-C & Me-N \\ \hline \\ N \\ H \end{array}$$

RN 798549-40-3 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[2-(methylphenylamino)phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 798549-39-0 CMF C30 H35 N3 O

CM 2

RN 798549-41-4 HCAPLUS
CN Benzamide, N,N-diethyl-4-[[2-[(phenylsulfonyl)amino]phenyl]-4piperidinylidenemethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C & H \\ H \\ N \\ C \\ O \\ Ph-S-NH \\ O \\ \end{array}$$

RN 798549-42-5 HCAPLUS
CN Benzamide, N,N-diethyl-4-[[2-[(phenylsulfonyl)amino]phenyl]-4-

piperidinylidenemethyl]-, trifluoroacetate (10:11) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-41-4 CMF C29 H33 N3 O3 S

CM 2

RN 798549-43-6 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[2-[[(phenylmethyl)sulfonyl]amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & H \\ & & & \\ Et_2N-C & & & \\ & &$$

RN 798549-44-7 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[2-[[(phenylmethyl)sulfonyl]amino]phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (10:11) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-43-6 CMF C30 H35 N3 O3 S

$$\begin{array}{c|c} & & & H \\ & & & N \\ & & & \\ Et_2N-C & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ &$$

CM 2

RN 798549-45-8 HCAPLUS
CN Benzamide, N,N-diethyl-4-[4-piperidinylidene[2-[[(2,2,2-trifluoroethyl)sulfonyl]amino]phenyl]methyl]- (CA INDEX NAME)

RN 798549-46-9 HCAPLUS
CN Benzamide, N,N-diethyl-4-[4-piperidinylidene[2-[[(2,2,2-trifluoroethyl)sulfonyl]amino]phenyl]methyl]-, mono(trifluoroacetate)
(9CI) (CA INDEX NAME)

CM 1

CRN 798549-45-8 CMF C25 H30 F3 N3 O3 S

CM 2

RN 798549-47-0 HCAPLUS

CN Benzamide, 4-[[2-[(cyclopentylacetyl)amino]phenyl]-4piperidinylidenemethyl]-N,N-diethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & &$$

RN 798549-48-1 HCAPLUS

CN Benzamide, 4-[[2-[(cyclopentylacetyl)amino]phenyl]-4piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (10:11) (9CI) (CA
INDEX NAME)

CM 1

CRN 798549-47-0 CMF C30 H39 N3 O2

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

CM 2

RN 798549-49-2 HCAPLUS

CN Benzamide, 4-[[2-[(cyclopentylcarbonyl)amino]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

RN 798549-50-5 HCAPLUS

CN Benzamide, 4-[[2-[(cyclopentylcarbonyl)amino]phenyl]-4piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (5:8) (9CI) (CA
INDEX NAME)

CM 1

CRN 798549-49-2 CMF C29 H37 N3 O2

$$\begin{array}{c|c}
 & & & H \\
 & & & N \\
 & & & & C \\
 & & & & NH \\
 & & & & & C
\end{array}$$

CM 2

RN 798549-51-6 HCAPLUS
CN Benzamide, N,N-diethyl-4-[[2-[(3-phenylpropyl)amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

RN 798549-52-7 HCAPLUS
CN Benzamide, N,N-diethyl-4-[[2-[(3-phenylpropyl)amino]phenyl]-4piperidinylidenemethyl]-, trifluoroacetate (5:9) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-51-6 CMF C32 H39 N3 O

CM 2

RN 798549-53-8 HCAPLUS

CN Benzamide, 4-[[2-[(2-cyclohexylethyl)amino]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

$$\begin{array}{c|c}
 & \text{NH-CH}_2\text{-CH}_2\\
\hline
 & \text{NH-CH}_2\text{-CH}_2\text{-CH}_2\\
\hline
 & \text{NH-CH}_2\text{-CH}_2\\
\hline
 & \text{NH-CH}_2\text{-CH}_2\\
\hline
 & \text{NH-CH}_2\text{-CH}_2\\
\hline
 &$$

RN 798549-54-9 HCAPLUS

CN Benzamide, 4-[[2-[(2-cyclohexylethyl)amino]phenyl]-4piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (10:13) (9CI) (CA
INDEX NAME)

CM 1

CRN 798549-53-8 CMF C31 H43 N3 O

$$\begin{array}{c|c}
c & \text{NH-CH}_2 - \text{CH}_2 \\
\hline
NH - \text{CH}_2 - \text{CH}_2
\end{array}$$

CM 2

RN 798549-55-0 HCAPLUS

CN Benzamide, 4-[[2-(cyclopentylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

RN 798549-56-1 HCAPLUS

CN Benzamide, 4-[[2-(cyclopentylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (5:11) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-55-0 CMF C28 H37 N3 O

$$\begin{array}{c|c} & & & H \\ & & & N \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

CM 2

RN 798549-57-2 HCAPLUS

CN Benzamide, 4-[[2-(cycloheptylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

RN 798549-58-3 HCAPLUS

CN Benzamide, 4-[[2-(cycloheptylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (10:23) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-57-2 CMF C30 H41 N3 O

CM 2

RN 798549-59-4 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[2-[[[(phenylmethyl)amino]carbonyl]amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

RN 798549-60-7 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[2-[[[(phenylmethyl)amino]carbonyl]amino]phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (5:6) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-59-4 CMF C31 H36 N4 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 798549-61-8 HCAPLUS .

CN Benzamide, N,N-diethyl-4-[[2-(1-naphthalenylamino)phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

Et<sub>2</sub>N-C NH

RN 798549-62-9 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[2-(1-naphthalenylamino)phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (5:7) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-61-8 CMF C33 H35 N3 O

CM 2

RN 798549-63-0 HCAPLUS
CN Benzamide, N,N-diethyl-4-[[2-[(3-fluorophenyl)amino]phenyl]-4piperidinylidenemethyl]- (CA INDEX NAME)

RN 798549-64-1 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[2-[(3-fluorophenyl)amino]phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (5:6) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-63-0 CMF C29 H32 F N3 O

CM 2

RN 798549-65-2 HCAPLUS

CN Benzamide, 4-[[2-[(4-chlorophenyl)amino]phenyl]-4-piperidinylidenemethyl}-N,N-diethyl- (CA INDEX NAME)

RN 798549-66-3 HCAPLUS

CN Benzamide, 4-[[2-[(4-chlorophenyl)amino]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (5:7) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-65-2 CMF C29 H32 Cl N3 O

CM 2

RN 798549-67-4 HCAPLUS

CN Benzamide, 4-[[2-(cyclohexylmethylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

RN 798549-68-5 HCAPLUS

CN Benzamide, 4-[[2-(cyclohexylmethylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (2:5) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-67-4 CMF C30 H41 N3 O

$$\begin{array}{c|c} & & & Me \\ & & & \\ Et_2N-C & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

CM 2

RN 798549-69-6 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[2-[[(4-methylphenyl)sulfonyl]amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

$$\begin{array}{c|c}
 & \text{Me} \\
 & \text{O} & \text{S} & \text{O} \\
 & \text{Et}_2 \text{N} - \text{C} & \text{NH} \\
 & \text{NH} & \text{H}
\end{array}$$

RN 798549-70-9 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[2-[[(4-methylphenyl)sulfonyl]amino]phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (5:7) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-69-6 CMF C30 H35 N3 O3 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 798549-71-0 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[2-[[(2-fluorophenyl)sulfonyl]amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & &$$

RN 798549-72-1 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[2-[[(2-fluorophenyl)sulfonyl]amino]phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (5:6) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-71-0 CMF C29 H32 F N3 O3 S

$$\begin{array}{c|c} O & & & & \\ \hline O & & & \\ \hline & O & & \\ \hline & S & & \\ \hline & NH & & \\ \hline & & \\ & & \\ NH & & \\ \hline & & \\ & & \\ & & \\ & & \\ \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 798549-73-2 HCAPLUS

CN Benzamide, 4-[[2-[(butylsulfonyl)amino]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

$$\begin{array}{c|c}
C & H \\
N & C \\
C & C \\
C & C \\
N - Bu - S - NH \\
C & C \\
C &$$

RN 798549-74-3 HCAPLUS

CN Benzamide, 4-[[2-[(butylsulfonyl)amino]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (5:7) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-73-2 CMF C27 H37 N3 O3 S

$$\begin{array}{c|c}
 & H \\
 & H \\
 & N \\
 & C \\
 & O \\
 & D \\$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 798549-75-4 HCAPLUS

CN Benzamide, 4-[(2-aminophenyl)[1-(phenylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 798549-76-5 HCAPLUS

CN Benzamide, 4-[(2-aminophenyl)[1-(phenylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, trifluoroacetate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-75-4 CMF C30 H35 N3 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

Updated Search

RN 798549-79-8 HCAPLUS
CN Benzamide, 4-[[2-(acetylamino)phenyl][1-(phenylmethyl)-4piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 798549-80-1 HCAPLUS

CN Benzamide, 4-[[2-(acetylamino)phenyl][1-(phenylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, trifluoroacetate (10:17) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-79-8 CMF C32 H37 N3 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 798549-81-2 HCAPLUS

CN Carbamic acid, [2-[[4-[(diethylamino)carbonyl]phenyl][1-(phenylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & O & O \\
 & \parallel & \parallel \\
 & \text{MeO-C-NH} \\
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RN 798549-82-3 HCAPLUS

CN Carbamic acid, [2-[[4-[(diethylamino)carbonyl]phenyl][1-(phenylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester, trifluoroacetate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-81-2 CMF C32 H37 N3 O3

$$\begin{array}{c|c} & & & & & & \\ & & & & & \\ \text{Et}_2\text{N}-\text{C} & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & \\ & & \\ & & \\ & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 798549-84-5 HCAPLUS

CN Benzamide, 4-[(2-aminophenyl)[1-(5-thiazolylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 798549-85-6 HCAPLUS

CN Benzamide, 4-[[2-(acetylamino)phenyl][1-(4-thiazolylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 798549-86-7 HCAPLUS

CN Benzamide, 4-[[2-(acetylamino)phenyl][1-(4-thiazolylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, trifluoroacetate (5:8) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-85-6 CMF C29 H34 N4 O2 S

CRN 76-05-1 CMF C2 H F3 O2

RN 798549-87-8 HCAPLUS CN Carbamic acid, [2-[[4-

Carbamic acid, [2-[[4-[(diethylamino)carbonyl]phenyl][1-(4-thiazolylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 798549-88-9 HCAPLUS

CN Carbamic acid, [2-[[4-[(diethylamino)carbonyl]phenyl][1-(4-thiazolylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester, trifluoroacetate (5:8) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-87-8 CMF C29 H34 N4 O3 S

CRN 76-05-1 CMF C2 H F3 O2

RN 798549-89-0 HCAPLUS
CN Benzamide, 4-[[2-(acetylamino)phenyl][1-(5-thiazolylmethyl)-4piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 798549-90-3 HCAPLUS
CN Benzamide, 4-[[2-(acetylamino)phenyl][1-(5-thiazolylmethyl)-4piperidinylidene]methyl]-N,N-diethyl-, trifluoroacetate (10:19) (9CI) (CA

INDEX NAME)

CM 1

CRN 798549-89-0 CMF C29 H34 N4 O2 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 798549-91-4 HCAPLUS

CN Carbamic acid, [2-[[4-[(diethylamino)carbonyl]phenyl]][1-(5-thiazolylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 798549-92-5 HCAPLUS

CN Carbamic acid, [2-[[4-[(diethylamino)carbonyl]phenyl][1-(5-thiazolylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester, trifluoroacetate (10:17) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-91-4 CMF C29 H34 N4 O3 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 798549-97-0 HCAPLUS

CN Benzamide, 4-[[2-(acetylamino)phenyl][1-(4-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 798549-98-1 HCAPLUS

CN Benzamide, 4-[[2-(acetylamino)phenyl][1-(3-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 798549-99-2 HCAPLUS

CN Benzamide, 4-[[2-(acetylamino)phenyl][1-(3-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, trifluoroacetate (2:7) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-98-1 CMF C31 H36 N4 O2

CRN 76-05-1 CMF C2 H F3 O2

RN 798550-00-2 HCAPLUS

CN Benzamide, 4-[[2-(acetylamino)phenyl](1-butyl-4-piperidinylidene)methyl]-N,N-diethyl- (CA INDEX NAME)

RN 798550-01-3 HCAPLUS

CN Benzamide, 4-[[2-(acetylamino)phenyl](1-butyl-4-piperidinylidene)methyl]-N,N-diethyl-, trifluoroacetate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 798550-00-2 CMF C29 H39 N3 O2

CRN 76-05-1 CMF C2 H F3 O2

RN 798550-02-4 HCAPLUS

CN Benzamide, 4-[[2-(acetylamino)phenyl][1-(2-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 798550-03-5 HCAPLUS

CN Benzamide, 4-[[2-(acetylamino)phenyl][1-(2-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, trifluoroacetate (2:3) (9CI) (CAINDEX NAME)

CM 1

CRN 798550-02-4 CMF C31 H36 N4 O2

CRN 76-05-1 CMF C2 H F3 O2

RN 798550-04-6 HCAPLUS

CN Carbamic acid, [2-[(1-butyl-4-piperidinylidene)[4[(diethylamino)carbonyl]phenyl]methyl]phenyl]-, methyl ester (9CI) (CA
INDEX NAME)

RN 798550-05-7 HCAPLUS

CN Carbamic acid, [2-[(1-butyl-4-piperidinylidene)[4-[(diethylamino)carbonyl]phenyl]methyl]phenyl]-, methyl ester, trifluoroacetate (10:27) (9CI) (CA INDEX NAME)

CM 1

CRN 798550-04-6 CMF C29 H39 N3 O3

CRN 76-05-1 CMF C2 H F3 O2

RN 798550-06-8 HCAPLUS
CN Carbamic acid, [2-[[4-[(diethylamino)carbonyl]phenyl][1-(4-pyridinylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 798550-07-9 HCAPLUS

CN Carbamic acid, [2-[[4-[(diethylamino)carbonyl]phenyl]][1-(3-pyridinylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 798550-08-0 HCAPLUS

CN Carbamic acid, [2-[[4-[(diethylamino)carbonyl]phenyl]][1-(3-pyridinylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 798550-07-9 CMF C31 H36 N4 O3

$$C-NEt_2$$
 $R-C$ 
 $N-CH_2$ 
 $N$ 

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 798550-09-1 HCAPLUS

CN Carbamic acid, [2-[[4-[(diethylamino)carbonyl]phenyl]][1-(2-pyridinylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 798550-10-4 HCAPLUS

CN Benzamide, 4-[(1-butyl-4-piperidinylidene)[2-(ethylamino)phenyl]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 798550-11-5 HCAPLUS

CN Benzamide, 4-[(1-butyl-4-piperidinylidene)[2-(ethylamino)phenyl]methyl]-N,N-diethyl-, trifluoroacetate (5:7) (9CI) (CA INDEX NAME)

CM 1

CRN 798550-10-4 CMF C29 H41 N3 O

$$\begin{array}{c} O \\ \parallel \\ Et_2N-C \\ \hline \\ N \\ n-Bu \\ \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 798550-12-6 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[2-(ethylamino)phenyl][1-(4-pyridinylmethyl)-4-piperidinylidene]methyl]- (CA INDEX NAME)

RN 798550-13-7 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[2-(ethylamino)phenyl][1-(4-pyridinylmethyl)-4-piperidinylidene]methyl]-, trifluoroacetate (10:23) (9CI) (CA INDEX NAME)

CM 1

CRN 798550-12-6 CMF C31 H38 N4 O

CRN 76-05-1 CMF C2 H F3 O2

RN 798550-14-8 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[2-(ethylamino)phenyl][1-(3-pyridinylmethyl)-4-piperidinylidene]methyl]- (CA INDEX NAME)

RN 798550-15-9 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[2-(ethylamino)phenyl][1-(3-pyridinylmethyl)-4-piperidinylidene]methyl]-, trifluoroacetate (10:23) (9CI) (CA INDEX NAME)

CM 1

CRN 798550-14-8 CMF C31 H38 N4 O

CRN 76-05-1 CMF C2 H F3 O2

RN 798550-16-0 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[2-(ethylamino)phenyl][1-(2-pyridinylmethyl)-4-piperidinylidene]methyl]- (CA INDEX NAME)

RN 798550-17-1 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[2-(ethylamino)phenyl][1-(2-pyridinylmethyl)-4-piperidinylidene]methyl]-, trifluoroacetate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 798550-16-0 CMF C31 H38 N4 O

CRN 76-05-1 CMF C2 H F3 O2

RN 798550-25-1 HCAPLUS

CN Benzamide, 4-[(2-aminophenyl)[1-(4-thiazolylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, trifluoroacetate (10:21) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-83-4 CMF C27 H32 N4 O S

$$CH_2$$
 $CH_2$ 
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CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 798550-26-2 HCAPLUS

CN Benzamide, 4-[(2-aminophenyl)[1-(5-thiazolylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, trifluoroacetate (10:21) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-84-5 CMF C27 H32 N4 O S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 798550-27-3 HCAPLUS

CN Benzamide, 4-[(2-aminophenyl)(1-butyl-4-piperidinylidene)methyl]-N,N-diethyl-, trifluoroacetate (10:19) (9CI) (CA INDEX NAME)

CRN 798549-93-6 CMF C27 H37 N3 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 798550-28-4 HCAPLUS
CN Benzamide, 4-[(2-aminophenyl)[1-(4-pyridinylmethyl)-4piperidinylidenelmethyll-N.N-diethyl-, trifluoroacetate (5:12) (9CI)

piperidinylidene]methyl]-N,N-diethyl-, trifluoroacetate (5:12) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-94-7 CMF C29 H34 N4 O

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 798550-29-5 HCAPLUS

CN Benzamide, 4-[(2-aminophenyl)[1-(3-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, trifluoroacetate (10:23) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-95-8 CMF C29 H34 N4 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 798550-30-8 HCAPLUS

CN Benzamide, 4-[(2-aminophenyl)[1-(2-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, trifluoroacetate (5:9) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-96-9 CMF C29 H34 N4 O

CRN 76-05-1 CMF C2 H F3 O2

RN 798550-31-9 HCAPLUS

CN Benzamide, 4-[[2-(acetylamino)phenyl][1-(4-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 798549-97-0 CMF C31 H36 N4 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

Updated Search

RN 798550-32-0 HCAPLUS CN Carbamic acid, [2-[[4

Carbamic acid, [2-[[4-[(diethylamino)carbonyl]phenyl][1-(4-pyridinylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester, trifluoroacetate (5:11) (9CI) (CA INDEX NAME)

CM 1

CRN 798550-06-8 CMF C31 H36 N4 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 798550-33-1 HCAPLUS

CN Carbamic acid, [2-[[4-[(diethylamino)carbonyl]phenyl][1-(2-pyridinylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester, trifluoroacetate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 798550-09-1 CMF C31 H36 N4 O3

CRN 76-05-1 CMF C2 H F3 O2

IT 798550-18-2P 798550-19-3P 798550-20-6P

798550-21-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of diarylmethylidene piperidine derivs. as opioid  $\delta$  receptor ligands for treating pain, anxiety and functional gastrointestinal disorders)

RN 798550-18-2 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[(2-aminophenyl)[4[(diethylamino)carbonyl]phenyl]methylene]-, 1,1-dimethylethyl ester (CA
INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & & \\ & & & & & \\ t-\text{BuO}-\text{C} & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ \end{array}$$

RN 798550-19-3 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-[(diethylamino)carbonyl]phenyl](2-

Updated Search

nitrophenyl)methylene]-, 1,1-dimethylethyl ester (CA INDEX NAME)

798550-20-6 HCAPLUS RN

Benzamide, N,N-diethyl-4-[(2-nitrophenyl)[1-(phenylmethyl)-4-CN piperidinylidene]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Ph-CH}_2 \\ & & \\$$

798550-21-7 HCAPLUS RN

Benzamide, N,N-diethyl-4-[(2-nitrophenyl)-4-piperidinylidenemethyl]- (CA CN INDEX NAME)

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 4 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN

3

ACCESSION NUMBER:

2004:610034 HCAPLUS

TITLE:

141:140326

DOCUMENT NUMBER:

Preparation of diarylmethylidene piperidines as  $\delta$ -opioid receptor ligands for the treatment of

pain.

INVENTOR(S):

Brown, William; Griffin, Andrew; Walpole,

Christopher

PATENT ASSIGNEE(S):

Astrazeneca Ab, Swed.; Astrazeneca UK Limited

SOURCE:

PCT Int. Appl., 60 pp.

DOCUMENT TYPE:

CODEN: PIXXD2 Patent

Updated Search

LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT	KIND		DATE			APPLICATION NO.						DATE					
	WO 2004062562 WO 2004062562							WO 2004-GB99				20040113			113		
	AE, A				AU,	AZ,	BA,	BI	В,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
	CN, C																
	GE, G																
	LK, L																
	AU 2004204390							AU 2004-204390						20040113			
AU 200	4204390				2007												
CA 251				A1 20040729			CA 2004-2510382						20040113				
							EP 2004-701624										
R:	AT, B															PT,	
	IE, S														SK		
BR 2004006614								BR 2004-6614						20040113			
CN 1738801 JP 2006516559			A 20060222					CN 2004-80002275									
IN 2005DN02714							<del></del>										
									A 2005-5186						0050		
US 2006154964					20060713			US 2005-541522						0050			
	MX 2005PA07484					20050921		MX 2005-PA7484					0050				
	5003809		Α		2005	1017									0050		
PRIORITY AP	PLN. IN	···													0030		
OTHER SOURC	E(S):		MARI	PAT	141:	14032		WO	20	04-0	GB99		Ţ	W 2	0040	113	

Title compds. I [R1 = (un)substituted aryl, heteroaryl; R2, R3, R4, R5 =

GI

<sup>\*</sup> STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

H, alkyl, cycloalkyl] and their pharmaceutically acceptable salts were prepared For example, acylation of aniline II [R6 = H], e.g., prepared from 4-(bromomethyl)benzoic acid Me ester in 8-steps, with acetyl chloride afforded piperidine II [R6 = COMe] as the trifluoroacetic acid salt in 52% yield. In human  $\delta$ -opioid receptor binding assays, 7-examples of compds. I exhibited IC50 values ranging from 0.19-1.49 nM. Compds. I are claimed useful in the management of pain. IT 725242-56-8P, 4-[[3-(Acetylamino)phenyl][1-(thien-2ylmethyl)piperidin-4-ylidene]methyl]-N,N-diethylbenzamide 725242-57-9P, 4-[[3-(Acetylamino)phenyl][1-(2furylmethyl)piperidin-4-ylidene]methyl]-N, N-diethylbenzamide 725242-58-0P, 4-[[3-(Acetylamino)phenyl][1-(phenylmethyl)-4piperidinylidene]methyl]-N,N-diethylbenzamide 725242-59-1P, 4-[[3-(Acetylamino)phenyl][1-(3-thienylmethyl)-4-piperidinylidene]methyl]-N,N-diethylbenzamide 725242-60-4P, 4-[[3-(Acetylamino)phenyl][1-(3-pyridinylmethyl)-4-piperidinylidene]methyl]-N, N-diethylbenzamide 725242-61-5P, 4-[[3-(Acetylamino)phenyl][1-(4-pyridinylmethyl)-4piperidinylidene]methyl]-N,N-diethylbenzamide 725242-62-6P, 4-[[3-(Acetylamino)phenyl][1-(pyridin-2-ylmethyl)piperidin-4ylidene]methyl]-N, N-diethylbenzamide 725242-63-7P, 4-[[3-(Acetylamino)phenyl][1-(1,3-thiazol-4-ylmethyl)piperidin-4ylidene]methyl]-N,N-diethylbenzamide 725242-64-8P,

4-[[3-(Acetylamino)phenyl][1-(1,3-thiazol-5-ylmethyl)piperidin-4-ylidene]methyl]-N,N-diethylbenzamide 725242-67-1P 725242-70-6P 725242-71-7P 725242-75-1P 725242-80-8P 725242-83-1P 725242-87-5P 725242-88-6P 725242-90-0P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diarylmethylidene piperidines as  $\delta$ -opioid receptor ligands for the treatment of pain.)

RN 725242-56-8 HCAPLUS

CN

Benzamide, 4-[[3-(acetylamino)phenyl][1-(2-thienylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 725242-57-9 HCAPLUS

CN Benzamide, 4-[[3-(acetylamino)phenyl][1-(2-furanylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 725242-58-0 HCAPLUS

CN Benzamide, 4-[[3-(acetylamino)phenyl][1-(phenylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 725242-59-1 HCAPLUS

CN Benzamide, 4-[[3-(acetylamino)phenyl][1-(3-thienylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 725242-60-4 HCAPLUS

CN Benzamide, 4-[[3-(acetylamino)phenyl][1-(3-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 725242-61-5 HCAPLUS

CN Benzamide, 4-[[3-(acetylamino)phenyl][1-(4-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 725242-62-6 HCAPLUS

CN Benzamide, 4-[[3-(acetylamino)phenyl][1-(2-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 725242-63-7 HCAPLUS

CN Benzamide, 4-[[3-(acetylamino)phenyl][1-(4-thiazolylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 725242-64-8 HCAPLUS

CN Benzamide, 4-[[3-(acetylamino)phenyl][1-(5-thiazolylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 725242-67-1 HCAPLUS

CN Benzamide, 4-[[3-(acetylamino)phenyl][1-(2-thienylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 725242-56-8 CMF C30 H35 N3 O2 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 725242-70-6 HCAPLUS

Updated Search

CN Benzamide, 4-[[3-(acetylamino)phenyl][1-(2-furanylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 725242-57-9 CMF C30 H35 N3 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 725242-71-7 HCAPLUS

CN Benzamide, 4-[[3-(acetylamino)phenyl][1-(phenylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 725242-58-0 CMF C32 H37 N3 O2

CRN 76-05-1 CMF C2 H F3 O2

RN 725242-75-1 HCAPLUS

CN Benzamide, 4-[[3-(acetylamino)phenyl][1-(3-thienylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 725242-80-8 HCAPLUS

CN Benzamide, 4-[[3-(acetylamino)phenyl][1-(3-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 725242-60-4 CMF C31 H36 N4 O2

CRN 76-05-1 CMF C2 H F3 O2

RN 725242-83-1 HCAPLUS

CN Benzamide, 4-[[3-(acetylamino)phenyl][1-(4-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 725242-61-5 CMF C31 H36 N4 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 725242-87-5 HCAPLUS

CN Benzamide, 4-[[3-(acetylamino)phenyl][1-(2-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, mono(trifluoroacetate) (9CI) (CAINDEX NAME)

CM 1

CRN 725242-62-6 CMF C31 H36 N4 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 725242-88-6 HCAPLUS

CN Benzamide, 4-[[3-(acetylamino)phenyl][1-(4-thiazolylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 725242-63-7 CMF C29 H34 N4 O2 S

CRN 76-05-1 CMF C2 H F3 O2

RN 725242-90-0 HCAPLUS

CN Benzamide, 4-[[3-(acetylamino)phenyl][1-(5-thiazolylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 725242-64-8 CMF C29 H34 N4 O2 S

CRN 76-05-1 CMF C2 H F3 O2

IT 477185-74-3P, 4-[1-(3-Aminophenyl)] [1-(phenylmethyl)piperidin-4ylidene]methyl]-N, N-diethylbenzamide 477185-77-6P, 4-[(3-Aminophenyl)[1-(2-furylmethyl)piperidin-4-ylidene]methyl]-N,Ndiethylbenzamide 477185-79-8P 477185-80-1P 725242-78-4P, 4-[(3-Aminophenyl)[1-(3-pyridinylmethyl)-4piperidinylidene]methyl]-N, N-diethylbenzamide 725242-85-3P, 4-[[3-(Acetylamino)phenyl](piperidin-4-ylidene)methyl]-N,Ndiethylbenzamide RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of diarylmethylidene piperidines as  $\delta$ -opioid receptor ligands for the treatment of pain.) RN 477185-74-3 HCAPLUS CN Benzamide, 4-[(3-aminophenyl)[1-(phenylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & & & & & \\ Ph-CH_2 & & & & & & & & \\ & & & & & & & & \\ & & & & & & & \\ & & & & & & & \\ \end{array}$$

RN 477185-77-6 HCAPLUS

CN Benzamide, 4-[(3-aminophenyl)[1-(2-furanylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 477185-79-8 HCAPLUS

CN Benzamide, 4-[(3-aminophenyl)[1-(2-thienylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-(CA INDEX NAME)

RN 477185-80-1 HCAPLUS

CN Benzamide, 4-[(3-aminophenyl)[1-(3-thienylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 725242-78-4 HCAPLUS

CN Benzamide, 4-[(3-aminophenyl)[1-(3-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 725242-85-3 HCAPLUS

CN Benzamide, 4-[[3-(acetylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

ANSWER 5 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2004:606467 HCAPLUS

DOCUMENT NUMBER:

141:157038

TITLE:

Preparation of 4-[3-(sulfonylamino)phenyl-1-(cyclymethyl)piperidin-4-ylidenemethyl]benazmide

derivatives as delta opioid receptor ligands

INVENTOR(S):

Brown, William; Griffin, Andrew; Walpole,

PATENT ASSIGNEE(S):

Astrazeneca Ab, Swed.; Astrazeneca UK Limited

SOURCE:

PCT Int. Appl., 54 pp.

CODEN: PIXXD2

Christopher

DOCUMENT TYPE: LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATI	ENT NO.			KIND DATE				1	APP	LICAT	DATE					
WO 2	20040631	 93		A1	2004	0729	,	 WO	2004-	20040113						
	W: AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
										, EC,						
										, JP,						
	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG	, MK,	MN,	MW,	MX,	MZ		
EP :	1590346			A1		2005	1102	]	EΡ	2004-	20040113					
EP :	1590346			В1		2006	1025									
	R: AT,	ΒE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	, IT,	LI,	LU,	NL,	SE,	MC,	PT,
	IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL	, TR,	BG,	CZ,	EE,	HU,	SK	
	20065153		2006	0525	,	JP	2006-		20040113							
AT 3	343571	${f T}$	2006	1115	7	AΤ	2004-		20040113							
	2274415							2004-					0040	113		
US 2	20061488	A1		2006	0706	1	US	2005-	5416	64		2	0050	707		
PRIORITY					:	SE	2003-	104			A 2	0030	116			
								7	WO	2004-	GB61			W 2	0040	113
OTHER SOU		MARI	TA?	141:	15703	8										

GI

## \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AΒ Title compds. I [R1 = aryl, heteroaryl, etc.; R2-5 = H, alkyl, cycloalkyl, etc.] are prepared For instance, 4-[bromo(4-carboxyphenyl)methylene]piperid ine-1-carboxylic acid tert-Bu ester (preparation given) is converted to the diethylamide (CH2Cl2, i-BuO2CCl, HNEt2), deprotected (CH2Cl2, TFA), alkylated with thiophene-2-carboxaldehyde (1,2-dichloroethane, NaHB(OAc)3), coupled to m-aminobenzeneboronic acid (PhMe/EtOH/H2O, Pd(PPh3)4, Na2CO3) and finally treated with methanesulfonic anhydride to give II. Compds. of the invention have IC50 in the range of 0.18 - 0.56

nM for the  $\delta\text{-opioid}$  receptor. I are useful in the management of pain.

T28917-19-9P, N,N-Diethyl-4-[[3-[(methylsulfonyl)amino]phenyl][1-(thien-2-ylmethyl)piperidin-4-ylidene]methyl]benzamide

728917-20-2P 728917-21-3P 728917-22-4P,

N,N-Diethyl-4-[[1-(2-furanylmethyl)-4-piperidinylidene][3[(methylsulfonyl)amino]phenyl]methyl]benzamide 728917-23-5P,

N,N-Diethyl-4-[[1-(phenylmethyl)-4-piperidinylidene][3[(methylsulfonyl)amino]phenyl]methyl]benzamide 728917-24-6P

728917-25-7P, N,N-Diethyl-4-[[3-[(methylsulfonyl)amino]phenyl][1(3-pyridinylmethyl)-4-piperidinylidene]methyl]benzamide

728917-26-8P 728917-30-4P 728917-31-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of 4-[3-(sulfonylamino)phenyl-1-(cyclymethyl)piperidin-4-

(preparation of 4-[3-(sulfonylamino)phenyl-1-(cyclymethyl)piperidin-4-ylidenemethyl]benazmide derivs. as delta opioid receptor ligands) 728917-19-9 HCAPLUS

Benzamide, N,N-diethyl-4-[[3-[(methylsulfonyl)amino]phenyl][1-(2-thienylmethyl)-4-piperidinylidene]methyl]- (CA INDEX NAME)

RN 728917-20-2 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[3-[(methylsulfonyl)amino]phenyl][1-(2-thienylmethyl)-4-piperidinylidene]methyl]-, trifluoroacetate (2:3) (9CI) (CA INDEX NAME)

CM 1

RN

CN

CRN 728917-19-9 CMF C29 H35 N3 O3 S2

$$\begin{array}{c|c} S & & \\ \hline \\ CH_2 - N & \\ \hline \\ C-NEt_2 \\ \hline \\ O & \\ \end{array}$$

CRN 76-05-1 CMF C2 H F3 O2

RN 728917-21-3 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[1-(2-furanylmethyl)-4-piperidinylidene][3-[(methylsulfonyl)amino]phenyl]methyl]-, hydrochloride (5:6) (CA INDEX NAME)

●6/5 HCl

RN 728917-22-4 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[1-(2-furanylmethyl)-4-piperidinylidene][3-[(methylsulfonyl)amino]phenyl]methyl]- (CA INDEX NAME)

RN 728917-23-5 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[3-[(methylsulfonyl)amino]phenyl][1-

(phenylmethyl)-4-piperidinylidene]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Ph-} CH_2 \\ \hline \\ \text{Et}_2\text{N-} C \\ \hline \\ & \text{N} \\ \hline \\ & \text{N} \\ \end{array}$$

RN 728917-24-6 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[3-[(methylsulfonyl)amino]phenyl][1-(phenylmethyl)-4-piperidinylidene]methyl]-, trifluoroacetate (10:13) (9CI) (CA INDEX NAME)

CM 1

CRN 728917-23-5 CMF C31 H37 N3 O3 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 728917-25-7 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[3-[(methylsulfonyl)amino]phenyl][1-(3-pyridinylmethyl)-4-piperidinylidene]methyl]- (CA INDEX NAME)

RN 728917-26-8 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[3-[(methylsulfonyl)amino]phenyl][1-(3-pyridinylmethyl)-4-piperidinylidene]methyl]-, trifluoroacetate (2:5) (9CI) (CA INDEX NAME)

CM 1

CRN 728917-25-7 CMF C30 H36 N4 O3 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 728917-30-4 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[3-[(methylsulfonyl)amino]phenyl][1-(2-thiazolylmethyl)-4-piperidinylidene]methyl]- (CA INDEX NAME)

RN 728917-31-5 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[3-[(methylsulfonyl)amino]phenyl][1-(2-thiazolylmethyl)-4-piperidinylidene]methyl]-, trifluoroacetate (2:5) (9CI) (CA INDEX NAME)

CM 1

CRN 728917-30-4 CMF C28 H34 N4 O3 S2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

IT 209807-56-7P, N,N-Diethyl-4-[(3-nitrophenyl)-4piperidinylidenemethyl]benzamide 477185-74-3P,
4-[(3-Aminophenyl)[1-(phenylmethyl)piperidin-4-ylidene]methyl]-N,Ndiethylbenzamide 477185-77-6P, 4-[(3-Aminophenyl)[1-(2furylmethyl)piperidin-4-ylidene]methyl]-N,N-diethylbenzamide

RN 209807-56-7 HCAPLUS
CN Benzamide, N,N-diethyl-4-[(3-nitrophenyl)-4-piperidinylidenemethyl]- (CA INDEX NAME)

$$Et_2N-C$$

$$VO2$$

RN 477185-74-3 HCAPLUS

CN Benzamide, 4-[(3-aminophenyl)[1-(phenylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 477185-77-6 HCAPLUS

CN Benzamide, 4-[(3-aminophenyl)[1-(2-furanylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 477185-79-8 HCAPLUS

CN Benzamide, 4-[(3-aminophenyl)[1-(2-thienylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 725242-78-4 HCAPLUS

CN Benzamide, 4-[(3-aminophenyl)[1-(3-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 728917-27-9 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-[(diethylamino)carbonyl]phenyl](3-nitrophenyl)methylene]-, 1,1-dimethylethyl ester (CA INDEX NAME)

$$\begin{array}{c|c} & \circ & \\ & C - OBu - t \\ & &$$

RN 728917-28-0 HCAPLUS

CN Benzamide, N,N-diethyl-4-[(3-nitrophenyl)[1-(2-thiazolylmethyl)-4-piperidinylidene]methyl]- (CA INDEX NAME)

728917-29-1 HCAPLUS RN

Benzamide, 4-[(3-aminophenyl)[1-(2-thiazolylmethyl)-4-CN piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

ANSWER 6 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2004:606441 HCAPLUS

DOCUMENT NUMBER:

141:140324

TITLE:

Preparation of diarylmethylidene piperidines as

,  $\delta$ -opioid receptor ligands for the treatment of

pain.

INVENTOR(S):

Brown, William; Griffin, Andrew; Walpole,

Christopher

PATENT ASSIGNEE(S):

Astrazeneca Ab, Swed.; Astrazeneca UK Limited

SOURCE:

PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	ENT	NO.			KIN	D :	DATE		1	APPL	ICAT	DATE						
WO 2004063157					<b>A</b> 1	A1 20040729			1	WO 2	004-		20040113					
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JĖ,	ĶΕ,	KG,	KP,	KR,	ΚZ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ			
AU 2004203969				<b>A</b> 1		2004	0729	1	AU 2	004-	20040113							
AU	2004	2039	69		В2	20070906												
CA	CA 2510400			<b>A</b> 1		2004	0729	(	CA 2	004-		20040113						
EΡ	1587	791			<b>A</b> 1		2005	1026	]	EP 2	004-	7016	34		2	040	113	

	R: .	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	, IT,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL	, TR,	BG,	CZ,	EE,	HU,	SK	
BR 2	20040	0659	4		Α		2005	1220	. E	3R	2004-	6594			2	00403	113
CN 1	.7355	96			Α	:	2006	0215	(	CN	2004-	8000	2123		2	0040	113
JP 2	20065	1535	6		${f T}$		2006	0525	Ċ	JΡ	2006-	5002	80		2	00403	113
IN 2	005D	N027	116		Α	:	2007	0112	]	ΕN	2005-	DN27	16		2	00506	520
ZA 2	20050	0518	39		Α	:	2006	0426	7	ZA	2005-	5189			2	00506	527
US 2	0061	1639	9		A1	:	2006	0601	Ţ	JS	2005-	5416	56		2	00507	707
NO 2	0050	0380	)5		Ą		2005:	1017	N	10	2005-	3805			2	00508	312
PRIORITY	APPL	N. I	NFO.	. :					5	SE	2003-	103		7	A 2	00301	116
									V	٧O	2004-	GB11	6	V	v 2	00401	113
OMITTED GOIL	TD 4 T	a \			MADD		1 4 1 .	1 400	n 4								

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II

OTHER SOURCE(S):

MARPAT 141:140324

GΙ

$$R^2$$
 $R^3$ 
 $R^3$ 
 $R^3$ 
 $R^5$ 
 $R^5$ 
 $R^5$ 
 $R^5$ 
 $R^5$ 
 $R^5$ 
 $R^5$ 

AB Title compds. I [R1 = (un)substituted aryl, heteroaryl; R2, R3, R4, R5 = H, alkyl, cycloalkyl] and their pharmaceutically acceptable salts were prepared For example, acylation of aniline II [R6 = H], e.g., prepared from 4-(bromomethyl)benzoic acid Me ester in 8-steps, with Me chloroformate, afforded piperidine II [R6 = COOMe] as the trifluoroacetic acid salt in 38% yield. In human  $\delta$ -opioid receptor binding assays, 4-examples of compds. I exhibited IC50 values ranging from 0.30-0.48 nM, e.g., the IC50 value of piperidine II [R6 = COOMe] was 0.48 nM. Compds. I are claimed useful in the management of pain.

TT 725229-70-9P 725229-71-0P 725229-72-1P 725229-73-2P 725229-74-3P 725229-75-4P 725229-76-5P 725229-78-7P 725229-79-8P 725229-80-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diarylmethylidene piperidines as  $\delta\text{-opioid}$  receptor ligands for the treatment of pain.)

RN 725229-70-9 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-(2-thienylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 725229-71-0 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl]][1-(2-furanylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 725229-72-1 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-(phenylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Ph-CH}_2 \\ & & \\$$

RN 725229-75-4 HCAPLUS
CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-(2-thienylmethyl)4-piperidinylidene]methyl]phenyl]-, methyl ester, mono(trifluoroacetate)
(9CI) (CA INDEX NAME)

CM 1

CRN 725229-70-9 CMF C30 H35 N3 O3 S

CRN 76-05-1 CMF C2 H F3 O2

RN 725229-76-5 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl]][1-(2-furanylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 725229-78-7 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-(phenylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 725229-72-1 CMF C32 H37 N3 O3

$$\begin{array}{c|c} & \text{Ph-CH2} \\ & & \\ &$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 725229-79-8 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-(4-thiazolylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 725229-73-2 CMF C29 H34 N4 O3 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 725229-80-1 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-(5-thiazolylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 725229-74-3 CMF C29 H34 N4 O3 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

IT 477185-74-3P 477185-77-6P, 4-[(3-Aminophenyl)]1-(2-

$$\begin{array}{c|c} & & & & & & & & & & \\ Ph-CH_2 & & & & & & & & \\ & & & & & & & & \\ & & & & & & & \\ & & & & & & & \\ \end{array}$$

RN 477185-77-6 HCAPLUS
CN Benzamide, 4-[(3-aminophenyl)[1-(2-furanylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 477185-79-8 HCAPLUS
CN Benzamide, 4-[(3-aminophenyl)[1-(2-thienylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 725229-84-5 HCAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(3-aminophenyl)[4[(diethylamino)carbonyl]phenyl]methylene]-, 1,1-dimethylethyl ester (CA
INDEX NAME)

RN725229-85-6 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl]-4piperidinylidenemethyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

ANSWER 7 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2004:412920 HCAPLUS

DOCUMENT NUMBER:

140:423590

TITLE:

Preparation of 4-(phenylpiperidin-4-

ylidenemethyl) benzamides for treatment of pain,

anxiety, or gastrointestinal disorders

INVENTOR(S):

Brown, William; Griffin, Andrew

PATENT ASSIGNEE(S):

SOURCE:

Astrazeneca AB, Swed. PCT Int. Appl., 96 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

1

PATENT INFORMATION:

PATENT NO.					KIND DAT		DATE			APPL:	ICAT:	ION 1	. O <i>l</i>	Dž				
WO 2004041784				A1	_	20040521		1	WO 2	 003-:	 SE17		20031105					
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	GE,	
		GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	
		LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	
		OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	ТJ,	TM,	
		TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW			
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	
		BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	AT,	ΒE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	
		ES,	FI,	FR,	GB,	GR,	HU,	ΙĒ,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	
		TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	ΤG
AU	2003	27488	35		A1		2004	0607		AU 2	003-	2748		20031105				
ΕP	EP 1567496						2005	0831		EP 2	003-	7591	65		20031105			

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EP 1567496
                                20070411
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
    JP 2006514617
                         Т
                               20060511
                                           JP 2004-549774
                                                                   20031105
    AT 359270
                                           AT 2003-759165
                         Т
                               20070515
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    ES 2285179
                         Т3
                                           ES 2003-3759165
                                20071116
                                                                   20031105
    US 2006014789
                         A1
                               20060119
                                           US 2005-533838
                                                                   20050504
                                                               A 20021107
PRIORITY APPLN. INFO.:
                                           SE 2002-3301
                                           WO 2003-SE1705
                                                              W 20031105
                        MARPAT 140:423590
OTHER SOURCE(S):
GΙ
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\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Title compds. I [wherein R1 = (un)substituted alkyl, cycloalkyl(alkyl), (hetero)aryl, R8CO, R8SO2, R8SO, R8NHCO, R8CS, or R8NHCS; ; R2 = H or (un) substituted alkyl; R3 = H or (un) substituted alkoxycarbonyl, alkyl, or cycloalkyl(alkyl); R8 = (un)substituted alkyl, (hetero)aryl(alkyl), or cycloalkyl(alkyl); or pharmaceutically acceptable salts thereof] were prepared as opioid  $\delta$  receptor ligands. For example, reaction of 4-(bromomethyl)benzoic acid Me ester with P(OMe)3, followed by addition of 1-(tert-butoxycarbonyl)-4-piperidone in the presence of LDA in THF, gave 4-(4-methoxycarbonylbenzylidene)piperidine-1-carboxylic acid tert-Bu ester (35%). Addition of Br2 (78%) and reaction with NaOH in MeOH provided 4-{bromo(4-carboxyphenyl)methylene}piperidine-1-carboxylic acid tert-Bu ester (87%). Conversion to the benzoyl chloride with iso-Bu chloroformate and amidation (73%) with Et2NH in the presence of TEA in CH2Cl2, followed by coupling with 3-aminophenylboronic acid using Pd(PPh3)4 and Na2CO3 in toluene/EtOH/H2O afforded N, N-diethyl-4-[(3-aminophenyl)(piperidin-4ylidene) methyl] benzamide (97%). Alkylation of the amine with benzaldehyde and NaBH(OAc)3 in 1,2-dichloroethane gave II. In binding assays using human 293S cells expressing cloned human opioid receptors and neomycin resistance, most compds. of the invention exhibited activity toward the  $\delta$  receptor with IC50 values in the range of 0.14 nM - 31.2 nM. Exemplified compds. also showed some activity toward the  $\kappa$  and  $\mu$ receptors with IC50 values in the ranges of 36 nM - 9680 nM and 3 nM -5975 nM, resp. Thus, I and their pharmaceutical compns. are useful in therapy, in particular for the treatment of gastrointestinal disorders, anxiety, or pain (no data).

IT 209807-69-2P, N,N-Diethyl-4-[(3-aminophenyl)(piperidin-4-ylidene)methyl]benzamide

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of (phenylpiperidinylidenemethyl)benzamides as  $\delta$  receptor agonists for treatment of pain, anxiety, or gastrointestinal disorders)

RN 209807-69-2 HCAPLUS

CN Benzamide, 4-[(3-aminophenyl)-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

$$\begin{array}{c|c} H & O \\ N & C \\ \end{array}$$

IT 692245-00-4P 692245-02-6P 692245-04-8P 692245-06-0P 692245-09-3P 692245-13-9P 692245-17-3P 692245-21-9P 692245-25-3P 692245-29-7P 692245-33-3P 692245-37-7P 692245-41-3P 692245-45-7P 692245-49-1P 692245-53-7P 692245-57-1P 692245-61-7P 692245-65-1P 692245-69-5P 692245-73-1P 692245-77-5P 692245-81-1P 692245-86-6P 692245-90-2P 692245-94-6P 692245-98-0P 692246-02-9P 692246-06-3P 692246-10-9P 692246-14-3P 692246-18-7P 692246-22-3P 692246-26-7P 692246-30-3P 692246-34-7P 692246-38-1P 692246-46-1P 692246-50-7P 692246-54-1P 692246-58-5P 692246-62-1P 692246-66-5P 692246-78-9P 692246-82-5P 692246-87-0P 692246-91-6P 692246-95-0P 692246-99-4P 692247-03-3P 692247-07-7P 692247-11-3P RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (δ receptor agonist; preparation of (phenylpiperidinylidenemethyl)benz amides as  $\delta$  receptor agonists for treatment of pain, anxiety, or gastrointestinal disorders) RN 692245-00-4 HCAPLUS CN

Benzamide, N,N-diethyl-4-[[3-[(phenylmethyl)amino]phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (10:27) (9CI) (CA INDEX NAME)

CM 1

CRN 692244-99-8 CMF C30 H35 N3 O

$$\begin{array}{c|c} & H & O \\ & \parallel & \\ & C - NEt_2 \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692245-02-6 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[3-[(3-furanylmethyl)amino]phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (10:21) (9CI) (CA INDEX NAME)

CM 1

CRN 692245-01-5 CMF C28 H33 N3 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692245-04-8 HCAPLUS

CN Benzamide, N,N-diethyl-4-[4-piperidinylidene[3-[(3-thienylmethyl)amino]phenyl]methyl]-, trifluoroacetate (5:12) (9CI) (CAINDEX NAME)

CM 1

CRN 692245-03-7 CMF C28 H33 N3 O S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692245-06-0 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[3-[(2-phenylethyl)amino]phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (2:5) (9CI) (CA INDEX NAME)

CM 1

CRN 692245-05-9 CMF C31 H37 N3 O

$$\begin{array}{c|c} C & H \\ H \\ \hline \\ Et_2N-C \\ \hline \\ C & NH-CH_2-CH_2-Ph \\ \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692245-09-3 HCAPLUS

CN Benzamide, 4-[[3-[[(4-chlorophenyl)methyl]amino]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (10:23) (9CI) (CA INDEX NAME)

CM 1

CRN 692245-07-1 CMF C30 H34 Cl N3 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692245-13-9 HCAPLUS

CN Benzamide, N,N-diethyl-4-[4-piperidinylidene[3-[[[3-(trifluoromethyl)phenyl]methyl]amino]phenyl]methyl]-, trifluoroacetate (5:11) (9CI) (CA INDEX NAME)

CM 1

CRN 692245-11-7 CMF C31 H34 F3 N3 O

$$\begin{array}{c|c} & & & \\ & & & \\ Et_2N-C & & & \\ & & & \\ \hline & & & \\ & & & \\ \hline & & & \\ & & & \\ \hline & & & \\ & & & \\ \hline & & & \\ & & & \\ \hline & & & \\ & & & \\ \hline & & \\ & \\ \hline & & \\ \hline & \\ \hline & \\ \hline & & \\ \hline &$$

CRN 76-05-1 CMF C2 H F3 O2

RN 692245-17-3 HCAPLUS

CN Benzamide, 4-[[3-[[(2-chlorophenyl)methyl]amino]phenyl]-4piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (5:8) (9CI) (CA
INDEX NAME)

CM 1

CRN 692245-15-1 CMF C30 H34 Cl N3 O

$$\begin{array}{c|c} C & H \\ N & \\ Et_2N-C & \\ C & \\ NH-CH_2 & \\ \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692245-21-9 HCAPLUS

CN Benzamide, N,N-diethyl-4-[4-piperidinylidene[3-[[[4-(trifluoromethyl)phenyl]methyl]amino]phenyl]methyl]-, trifluoroacetate (10:21) (9CI) (CA INDEX NAME)

CM 1

CRN 692245-19-5 CMF C31 H34 F3 N3 O

$$\begin{array}{c|c} C & H \\ H \\ N \\ C & NH-CH_2 \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692245-25-3 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[3-[(2-furanylmethyl)amino]phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (10:23) (9CI) (CA INDEX NAME)

CM 1

CRN 692245-23-1 CMF C28 H33 N3 O2

$$\begin{array}{c} \overset{\text{O}}{\underset{\text{H}}{\bigcirc}} \\ \overset{\text{C}}{\underset{\text{N}}{\bigcirc}} \\ \overset{\text{C}}{\underset{\text{N}}{\bigcirc}} \\ \overset{\text{C}}{\underset{\text{N}}{\bigcirc}} \\ \overset{\text{N}}{\underset{\text{H}}{\bigcirc}} \\ \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692245-29-7 HCAPLUS

CN Benzamide, N,N-diethyl-4-[4-piperidinylidene[3-[(2-thienylmethyl)amino]phenyl]methyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 692245-27-5 CMF C28 H33 N3 O S

$$\begin{array}{c|c} & & & \\ &$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692245-33-3 HCAPLUS

CN Benzamide, 4-[[3-[(cyclohexylmethyl)amino]phenyl]-4piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (10:23) (9CI) (CA
INDEX NAME)

CM 1

CRN 692245-31-1 CMF C30 H41 N3 O

$$\begin{array}{c|c}
 & H \\
 & H \\$$

CRN 76-05-1 CMF C2 H F3 O2

RN 692245-37-7 HCAPLUS

CN Benzamide, N,N-diethyl-4-[4-piperidinylidene[3-(propylamino)phenyl]methyl]-, trifluoroacetate (10:29) (9CI) (CA INDEX NAME)

CM 1

CRN 692245-35-5 CMF C26 H35 N3 O

$$\begin{array}{c|c} C & H \\ H \\ N \\ C \\ \end{array}$$

$$\begin{array}{c|c} C \\ NHPr-n \\ \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692245-41-3 HCAPLUS

CN Benzamide, 4-[[3-(cyclohexylamino)phenyl]-4-piperidinylidenemethyl]-N,N-

diethyl-, trifluoroacetate (2:5) (9CI) (CA INDEX NAME)

CM 1

CRN 692245-39-9 CMF C29 H39 N3 O

$$\begin{array}{c|c} & & H \\ & & N \\ & & \\$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692245-45-7 HCAPLUS

CN Benzamide, 4-[[3-(cyclopentylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (10:23) (9CI) (CA INDEX NAME)

CM 1

CRN 692245-43-5 CMF C28 H37 N3 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692245-49-1 HCAPLUS

CN Benzamide, 4-[[3-(cycloheptylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (2:5) (9CI) (CA INDEX NAME)

CM 1

CRN 692245-47-9 CMF C30 H41 N3 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692245-53-7 HCAPLUS

CN Benzamide, 4-[[3-(cyclopentylmethylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (5:14) (9CI) (CA INDEX NAME)

CM 1

CRN 692245-51-5 CMF C29 H39 N3 O

CRN 76-05-1 CMF C2 H F3 O2

RN

692245-57-1 HCAPLUS
Benzamide, 4-[[3-(benzoylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (5:7) (9CI) (CA INDEX NAME) CN

1 CM

CRN 692245-55-9 CMF C30 H33 N3 O2

$$\begin{array}{c|c} & & & \\ &$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692245-61-7 HCAPLUS

CN Benzeneacetamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-, trifluoroacetate (5:9) (9CI) (CA INDEX NAME)

CM 1

CRN 692245-59-3 CMF C31 H35 N3 O2

$$\begin{array}{c|c} & & & H & & O \\ & & & & N & & O \\ & & & & & C & & NEt_2 \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692245-65-1 HCAPLUS

CN Benzamide, 4-[[3-[(cyclohexylcarbonyl)amino]phenyl]-4piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (5:8) (9CI) (CA
INDEX NAME)

CM 1

CRN 692245-63-9 CMF C30 H39 N3 O2

$$\begin{array}{c|c} C & H \\ H \\ N \\ C & NH-C \end{array}$$

CRN 76-05-1 CMF C2 H F3 O2

RN 692245-69-5 HCAPLUS

CN Benzamide, 4-[[3-[(cyclohexylacetyl)amino]phenyl]-4piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (2:3) (9CI) (CA
INDEX NAME)

CM 1

CRN 692245-67-3 CMF C31 H41 N3 O2

$$\begin{array}{c|c} C & H \\ N & \\ \parallel & \\ C & NH-C-CH_2 \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692245-73-1 HCAPLUS

CN Benzeneacetamide, 2-chloro-N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-

piperidinylidenemethyl]phenyl]-, trifluoroacetate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 692245-71-9 CMF C31 H34 C1 N3 O2

$$\begin{array}{c|c} C & & H \\ & & \\$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692245-77-5 HCAPLUS

CN Benzeneacetamide, 3-chloro-N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-, trifluoroacetate (5:8) (9CI) (CA INDEX NAME)

CM 1

CRN 692245-75-3 CMF C31 H34 C1 N3 O2

$$\begin{array}{c|c} & & & H \\ & & & \\ Et_2N-C & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692245-81-1 HCAPLUS

CN 2-Thiopheneacetamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-5-methyl-, trifluoroacetate (5:6) (9CI) (CA INDEX NAME)

CM 1

CRN 692245-79-7 CMF C30 H35 N3 O2 S

$$\begin{array}{c} \text{Me} & \begin{array}{c} \text{O} \\ \text{\parallel} \\ \text{C-NEt}_2 \end{array} \\ \text{NH} \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692245-86-6 HCAPLUS

CN 2-Thiopheneacetamide, 5-chloro-N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-, trifluoroacetate (5:8) (9CI) (CA INDEX NAME)

CM 1

CRN 692245-84-4 CMF C29 H32 Cl N3 O2 S

CRN 76-05-1 CMF C2 H F3 O2

RN 692245-90-2 HCAPLUS

CN Benzeneacetamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]- $\alpha$ -methyl-, ( $\alpha$ S)-, trifluoroacetate (5:8) (9CI) (CA INDEX NAME)

CM 1

CRN 692245-88-8 CMF C32 H37 N3 O2

Absolute stereochemistry.

CM 2

CRN 76-05-1

RN 692245-94-6 HCAPLUS

CN Benzeneacetamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]- $\alpha$ -methyl-, ( $\alpha$ R)-, trifluoroacetate (10:17) (9CI) (CA INDEX NAME)

CM 1

CRN 692245-92-4 CMF C32 H37 N3 O2

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692245-98-0 HCAPLUS

CN Benzeneacetamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]- $\alpha$ -ethyl-, ( $\alpha$ S)-, trifluoroacetate (5:18) (9CI) (CA INDEX NAME)

CM 1

CRN 692245-96-8 CMF C33 H39 N3 O2

## Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H, F3 O2

RN 692246-02-9 HCAPLUS

CN Benzeneacetamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]- $\alpha$ -ethyl-, ( $\alpha$ R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 692246-00-7 CMF C33 H39 N3 O2

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692246-06-3 HCAPLUS

CN Benzamide, 4-[[3-(benzoylmethylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (5:13) (9CI) (CA INDEX NAME)

CM 1

CRN 692246-04-1 CMF C31 H35 N3 O2

$$\begin{array}{c|c} & H \\ N \\ \hline \\ N - C - Ph \\ & Me O \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692246-10-9 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[3-[[(phenylamino)carbonyl]amino]phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (5:7) (9CI) (CA INDEX NAME)

CM 1

CRN 692246-08-5 CMF C30 H34 N4 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692246-14-3 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[3-[[(phenylmethyl)amino]carbonyl]amino]phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (5:7) (9CI) (CA INDEX NAME)

CM 1

CRN 692246-12-1 CMF C31 H36 N4 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692246-18-7 HCAPLUS

CN 1-Piperidinecarboxamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-, trifluoroacetate (5:9) (9CI) (CA INDEX NAME)

CM 1

CRN 692246-16-5 CMF C29 H38 N4 O2

$$\begin{array}{c|c} C & H \\ H \\ H \\ C & NH-C-N \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692246-22-3 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[3-[(phenylsulfonyl)amino]phenyl]-4-piperidinylidenemethyl]-, tetrakis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 692246-20-1 CMF C29 H33 N3 O3 S

$$\begin{array}{c|c} C & H \\ H \\ N \\ C & \\ NH-S-Ph \\ 0 \\ \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692246-26-7 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[3-[[(phenylmethyl)sulfonyl]amino]phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (5:14) (9CI) (CA INDEX NAME)

CM 1

CRN 692246-24-5 CMF C30 H35 N3 O3 S

$$\begin{array}{c|c} C & H \\ H \\ N \\ C & \\ NH-S-CH_2-Ph \\ O \\ O \\ O \\ \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692246-30-3 HCAPLUS
CN Benzamide, N,N-diethyl-4-[[3-(phenylamino)phenyl]-4piperidinylidenemethyl]-, hydrochloride (5:6) (CA INDEX NAME)

$$\begin{array}{c|c} C & H \\ H \\ K \\ K \\ C \\ NHPh \end{array}$$

## ●6/5 HCl

RN 692246-34-7 HCAPLUS
CN Benzamide, N,N-diethyl-4-[[3-(methylphenylamino)phenyl]-4piperidinylidenemethyl]-, trifluoroacetate (10:13) (9CI) (CA INDEX NAME)

CM 1

CRN 692246-32-5 CMF C30 H35 N3 O

$$\begin{array}{c|c} H & N \\ \hline \\ Et_2N-C & \\ \hline \\ O & \\ \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

CN

RN 692246-38-1 HCAPLUS

Benzamide, N,N-diethyl-4-[[3-(ethylphenylamino)phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 692246-36-9 CMF C31 H37 N3 O

$$\begin{array}{c|c} & H \\ N \\ \hline \\ Et_2N - C \\ \hline \\ O \\ \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692246-46-1 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[3-[[(1S)-1-phenylethyl]amino]phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (5:11) (9CI) (CA INDEX NAME)

CM 1

CRN 692246-44-9 CMF C31 H37 N3 O

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692246-50-7 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[3-[[(1R)-1-phenylethyl]amino]phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (10:37) (9CI) (CA INDEX NAME)

CM I

CRN 692246-48-3 CMF C31 H37 N3 O

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692246-54-1 HCAPLUS

CN Benzamide, 4-[[3-[[(1R)-1-cyclohexylethyl]amino]phenyl]-4piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (5:11) (9CI) (CA
INDEX NAME)

CM 1

CRN 692246-52-9 CMF C31 H43 N3 O

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692246-58-5 HCAPLUS
CN Benzamide, 4-[[3-[[(1S)-1-cyclohexylethyl]amino]phenyl]-4piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (10:19) (9CI) (CA
INDEX NAME)

CM 1

CRN 692246-56-3 CMF C31 H43 N3 O

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692246-62-1 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[3-[(1-methyl-1-phenylethyl)amino]phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (10:29) (9CI) (CA INDEX NAME)

CM 1

CRN 692246-60-9 CMF C32 H39 N3 O

$$\begin{array}{c|c} C & H \\ H \\ N \\ Et_2N-C \\ \hline \\ C & Ph \\ NH-C-Me \\ Me \\ \end{array}$$

CM 2 CRN 76-05-1

CMF C2 H F3 O2

RN 692246-66-5 HCAPLUS

CN Benzamide, 4-[[3-(cyclohexylmethylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (5:18) (9CI) (CA INDEX NAME)

CM 1

CRN 692246-64-3 CMF C30 H41 N3 O

$$\begin{array}{c|c} C & H \\ H \\ K \\ C & Me \\ C & N \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692246-78-9 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[3-[(2-ethyl-1-oxobutyl)amino]phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (5:9) (9CI) (CA INDEX NAME)

CM 1

CRN 692246-76-7 CMF C29 H39 N3 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692246-82-5 HCAPLUS

CN 1H-Benzotriazole-5-carboxamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-1-methyl-, trifluoroacetate (10:11) (9CI) (CA INDEX NAME)

CM 1

CRN 692246-80-3 CMF C31 H34 N6 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692246-87-0 HCAPLUS

CN 3-Pyridinecarboxamide, 6-chloro-N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-, trifluoroacetate (10:11) (9CI) (CA INDEX NAME)

CM 1

CRN 692246-85-8

CMF C29 H31 C1 N4 O2

$$Et_2N - C$$

$$NH - C$$

$$NH - C$$

$$NH - C$$

$$NH - C$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692246-91-6 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[3-[(2-methoxybenzoyl)amino]phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (10:11) (9CI) (CA INDEX NAME)

CM 1

CRN 692246-89-2 CMF C31 H35 N3 O3

$$\begin{array}{c|c} C & H \\ H \\ N \\ C & NH - C \\ MeO \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692246-95-0 HCAPLUS

2-Quinoxalinecarboxamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-, trifluoroacetate (10:11) (9CI) (CA INDEX NAME)

CM 1

CRN 692246-93-8 CMF C32 H33 N5 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692246-99-4 HCAPLUS

CN Benzamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-2,5-difluoro-, trifluoroacetate (10:11) (9CI) (CA INDEX NAME)

CM 1

CRN 692246-97-2 CMF C30 H31 F2 N3 O2

$$\begin{array}{c|c} C & H \\ H \\ N \\ C & NH-C \\ \hline \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692247-03-3 HCAPLUS

CN 2-Thiophenecarboxamide, 3-chloro-N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-, trifluoroacetate (10:11) (9CI) (CA INDEX NAME)

CM 1

CRN 692247-01-1

CMF C28 H30 C1 N3 O2 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692247-07-7 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[3-[(3-methylbenzoyl)amino]phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (10:11) (9CI) (CA INDEX NAME)

CM 1

CRN 692247-05-5 CMF C31 H35 N3 O2

$$\begin{array}{c|c} C & H \\ H \\ N \\ C & NH-C \\ \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692247-11-3 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[3-[[(methylphenylamino)carbonyl]amino]phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (5:9) (9CI) (CA INDEX NAME)

CM 1

CRN 692247-09-9 CMF C31 H36 N4 O2

$$\begin{array}{c|c} Ph & O & & H \\ \hline | & | & \\ Me-N-C-NH & & C \end{array}$$

CM 2 CRN 76-05-1 CMF C2 H F3 O2

```
F-C-CO<sub>2</sub>H
```

IT 692244-99-8P, 4-[[3-(Benzylamino)phenyl](piperidin-4ylidene)methyl]-N, N-diethylbenzamide 692245-01-5P, N, N-Diethyl-4-[[3-[(3-furylmethyl)amino]phenyl](piperidin-4ylidene)methyl]benzamide 692245-03-7P, N,N-Diethyl-4-[(piperidin-4-ylidene)[3-[[(thien-3-yl)methyl]amino]phenyl]methyl]benzamide 692245-05-9P, N,N-Diethyl-4-[[3-[(2-phenylethyl)amino]phenyl](pipe ridin-4-ylidene)methyl]benzamide 692245-07-1P, 4-[[3-[(4-Chlorobenzyl)amino]phenyl](piperidin-4-ylidene)methyl]-N,Ndiethylbenzamide 692245-11-7P, N,N-Diethyl-4-[(piperidin-4ylidene)[3-[[3-(trifluoromethyl)benzyl]amino]phenyl]methyl]benzamide 692245-15-1P, 4-[[3-[(2-Chlorobenzyl)amino]phenyl](piperidin-4ylidene)methyl]-N, N-diethylbenzamide 692245-19-5P, N, N-Diethyl-4-[(piperidin-4-ylidene)[3-[[4-(trifluoromethyl)benzyl]amino]p henyl]methyl]benzamide 692245-23-1P, N,N-Diethyl-4-[[3-[(2furylmethyl)amino]phenyl](piperidin-4-ylidene)methyl]benzamide 692245-27-5P, N,N-Diethyl-4-[(piperidin-4-ylidene)[3-[[(thien-2yl)methyl]amino]phenyl]methyl]benzamide 692245-31-1P, 4-[[3-[(Cyclohexylmethyl)amino]phenyl](piperidin-4-ylidene)methyl]-N,Ndiethylbenzamide 692245-35-5P, N,N-Diethyl-4-[(piperidin-4ylidene)[3-(propylamino)phenyl]methyl]benzamide 692245-39-9P, 4-[[3-(Cyclohexylamino)phenyl](piperidin-4-ylidene)methyl]-N,Ndiethylbenzamide 692245-43-5P, 4-[[3-(Cyclopentylamino) phenyl] (piperidin-4-ylidene) methyl]-N, N-diethylbenzamide 692245-47-9P, 4-[[3-(Cycloheptylamino)phenyl](piperidin-4ylidene)methyl]-N, N-diethylbenzamide 692245-51-5P, 4-[[3-[Cyclopentyl(methyl)amino]phenyl](piperidin-4-ylidene)methyl]-N,Ndiethylbenzamide 692245-55-9P, 4-[[3-(Benzoylamino) phenyl] (piperidin-4-ylidene) methyl] -N, N-diethylbenzamide 692245-59-3P, N,N-Diethyl-4-[[3-[(phenylacetyl)amino]phenyl](piper idin-4-ylidene)methyl]benzamide 692245-63-9P, 4-[[3-[(Cyclohexylcarbonyl)amino]phenyl](piperidin-4-ylidene)methyl]-N,Ndiethylbenzamide 692245-67-3P, 4-[[3-[(Cyclohexylacetyl)amino]phenyl](piperidin-4-ylidene)methyl]-N,Ndiethylbenzamide 692245-71-9P, 4-[[3-[[(2-Chlorophenyl)acetyl]amino]phenyl](piperidin-4-ylidene)methyl]-N,Ndiethylbenzamide 692245-75-3P, 4-[[3-[[(3-Chlorophenyl)acetyl]amino]phenyl](piperidin-4-ylidene)methyl]-N,Ndiethylbenzamide 692245-79-7P, N,N-Diethyl-4-[[3-[[(5- $\\ methylthien-2-yl)\,acetyl]\,amino]\,phenyl]\,(piperidin-4-ylidene)\,methyl]\,benzamide$ 692245-84-4P, 4-[[3-[[(5-Chlorothien-2yl)acetyl]amino]phenyl](piperidin-4-ylidene)methyl]-N,N-diethylbenzamide 692245-88-8P, (S)-N, N-Diethyl-4-[[3-[(2phenylpropanoyl)amino]phenyl](piperidin-4-ylidene)methyl]benzamide 692245-92-4P, (R)-N, N-Diethyl-4-[[3-[(2phenylpropanoyl)amino]phenyl](piperidin-4-ylidene)methyl]benzamide

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692245-96-8P, (S)-N, N-Diethyl-4-[[3-[(2-
phenylbutanoyl)amino]phenyl](piperidin-4-ylidene)methyl]benzamide
692246-00-7P, (R)-N, N-Diethyl-4-[[3-[(2-
phenylbutanoyl)amino]phenyl](piperidin-4-ylidene)methyl]benzamide
692246-04-1P, 4-[[3-[Benzoyl(methyl)amino]phenyl](piperidin-4-
ylidene)methyl]-N,N-diethylbenzamide 692246-08-5P,
4-[[3-[(Anilinocarbonyl)amino]phenyl](piperidin-4-ylidene)methyl]-N,N-
diethylbenzamide 692246-12-1P, 4-[[3-
[[(Benzylamino)carbonyl]amino]phenyl](piperidin-4-ylidene)methyl]-N,N-
diethylbenzamide 692246-16-5P, N-[3-[[4-
[(Diethylamino)carbonyl]phenyl](piperidin-4-ylidene)methyl]phenyl]piperidi
ne-1-carboxamide 692246-20-1P, N,N-Diethyl-4-[[3-
[(phenylsulfonyl)amino]phenyl](piperidin-4-ylidene)methyl]benzamide
692246-24-5P, 4-[[3-[(Benzylsulfonyl)amino]phenyl](piperidin-4-
ylidene) methyl]-N, N-diethylbenzamide 692246-28-9P,
4-[(3-Anilinophenyl)(piperidin-4-ylidene)methyl]-N, N-diethylbenzamide
692246-32-5P, N,N-Diethyl-4-[[3-[methyl(phenyl)amino]phenyl](piper
idin-4-ylidene)methyl]benzamide 692246-36-9P,
N, N-Diethyl-4-[[3-[ethyl(phenyl)amino]phenyl](piperidin-4-
ylidene)methyl]benzamide 692246-44-9P, (S)-N,N-Diethyl-4-[[3-[(1-
phenylethyl) amino]phenyl] (piperidin-4-ylidene) methyl]benzamide
692246-48-3P, (R)-N, N-Diethyl-4-[[3-[(1-
phenylethyl)amino]phenyl](piperidin-4-ylidene)methyl]benzamide
692246-52-9P, (R)-4-[[3-[(1-Cyclohexylethyl)amino]phenyl](piperidi
n-4-ylidene)methyl]-N, N-diethylbenzamide 692246-56-3P,
(S)-4-[[3-[(1-Cyclohexylethyl)amino]phenyl](piperidin-4-ylidene)methyl]-
N,N-diethylbenzamide 692246-60-9P, N,N-Diethyl-4-[[3-[(1-methyl-4-[1])]]
1-phenylethyl)amino]phenyl](piperidin-4-ylidene)methyl]benzamide
692246-64-3P, 4-[[3-[Cyclohexyl(methyl)amino]phenyl](piperidin-4-
ylidene) methyl]-N, N-diethylbenzamide 692246-76-7P,
N, N-Diethyl-4-[[3-[(2-ethyl-1-oxobutyl)amino]phenyl]-4-
piperidinylidenemethyl]benzamide 692246-80-3P 692246-85-8P*
   , 6-Chloro-N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-
piperidinylidenemethyl]phenyl]-3-pyridinecarboxamide
                                                       ***692246-89-2P
, N-[3-[[4-[(Diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl
]-2-methoxybenzamide 692246-93-8P, N-[3-[[4-
[(Diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-2-
quinoxalinecarboxamide 692246-97-2P, N-[3-[[4-
[(Diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-2,5-
difluorobenzamide 692247-01-1P, 3-Chloro-N-[3-[[4-
[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-2-
thiophenecarboxamide 692247-05-5P, N-[3-[[4-
[(Diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-3-
methylbenzamide 692247-09-9P, N,N-Diethyl-4-[[3-
[[[methyl(phenyl)amino]carbonyl]amino]phenyl]-4-
piperidinylidenemethyl]benzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
   (δ receptor agonist; preparation of (phenylpiperidinylidenemethyl)benz
   amides as \delta receptor agonists for treatment of pain, anxiety, or
   gastrointestinal disorders)
692244-99-8 HCAPLUS
Benzamide, N, N-diethyl-4-[[3-[(phenylmethyl)amino]phenyl]-4-
piperidinylidenemethyl] - (CA INDEX NAME)
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RN CN

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 692245-01-5 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[3-[(3-furanylmethyl)amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

RN 692245-03-7 HCAPLUS

CN Benzamide, N,N-diethyl-4-[4-piperidinylidene[3-[(3-thienylmethyl)amino]phenyl]methyl]- (CA INDEX NAME)

RN 692245-05-9 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[3-[(2-phenylethyl)amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & H \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 692245-07-1 HCAPLUS

CN Benzamide, 4-[[3-[[(4-chlorophenyl)methyl]amino]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

$$\begin{array}{c|c} C & H & \\ H & N & \\ Et_2N-C & \\ C & NH-CH_2 & \\ \end{array}$$

RN 692245-11-7 HCAPLUS

CN Benzamide, N,N-diethyl-4-[4-piperidinylidene[3-[[[3-(trifluoromethyl)phenyl]methyl]amino]phenyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C & H \\ H \\ C & NH-CH_2 \end{array}$$

RN 692245-15-1 HCAPLUS

CN Benzamide, 4-[[3-[[(2-chlorophenyl)methyl]amino]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN 692245-19-5 HCAPLUS

CN Benzamide, N,N-diethyl-4-[4-piperidinylidene[3-[[[4-

$$\begin{array}{c|c} C & H \\ N & N \\ \hline \\ Et_2N-C & NH-CH_2 \\ \hline \end{array}$$

RN 692245-23-1 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[3-[(2-furanylmethyl)amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

RN 692245-27-5 HCAPLUS

CN Benzamide, N, N-diethyl-4-[4-piperidinylidene[3-[(2-thienylmethyl)amino]phenyl]methyl]- (CA INDEX NAME)

RN 692245-31-1 HCAPLUS

CN Benzamide, 4-[[3-[(cyclohexylmethyl)amino]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

$$\begin{array}{c|c} C & H \\ H \\ N \\ C & NH-CH_2 \end{array}$$

RN 692245-35-5 HCAPLUS

CN Benzamide, N,N-diethyl-4-[4-piperidinylidene[3-(propylamino)phenyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C & H \\ H \\ N \\ C \\ NHPr-n \end{array}$$

RN 692245-39-9 HCAPLUS

CN Benzamide, 4-[[3-(cyclohexylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

$$\begin{array}{c|c} C & H \\ H \\ K \\ C & NH \end{array}$$

RN 692245-43-5 HCAPLUS

CN Benzamide, 4-[[3-(cyclopentylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

RN 692245-47-9 HCAPLUS

CN Benzamide, 4-[[3-(cycloheptylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

RN 692245-51-5 HCAPLUS

CN Benzamide, 4-[[3-(cyclopentylmethylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

RN 692245-55-9 HCAPLUS

CN Benzamide, 4-[[3-(benzoylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

$$\begin{array}{c|c} H \\ N \\ \hline \\ C \\ \hline \\ NH-C-Ph \\ \hline \\ O \\ \end{array}$$

RN 692245-59-3 HCAPLUS

CN Benzeneacetamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ & & \\ \text{Ph-CH}_2-\text{C-NH} & & \\ & & \\ \end{array}$$

RN 692245-63-9 HCAPLUS

CN Benzamide, 4-[[3-[(cyclohexylcarbonyl)amino]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

$$\begin{array}{c|c} & & & H \\ & & & \\ & &$$

RN 692245-67-3 HCAPLUS

CN Benzamide, 4-[[3-[(cyclohexylacetyl)amino]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C & H \\ N \\ \parallel \\ C & NH-C-CH_2 \end{array}$$

RN 692245-71-9 HCAPLUS

CN Benzeneacetamide, 2-chloro-N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN 692245-75-3 HCAPLUS

CN Benzeneacetamide, 3-chloro-N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C & & H \\ C & & NH \\ \hline \end{array}$$

RN 692245-79-7 HCAPLUS

CN 2-Thiopheneacetamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-5-methyl- (CA INDEX NAME)

RN 692245-84-4 HCAPLUS

CN 2-Thiopheneacetamide, 5-chloro-N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]- (CA INDEX NAME)

RN 692245-88-8 HCAPLUS

CN Benzeneacetamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]- $\alpha$ -methyl-, ( $\alpha$ S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 692245-92-4 HCAPLUS

CN Benzeneacetamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]- $\alpha$ -methyl-, ( $\alpha$ R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 692245-96-8 HCAPLUS

CN Benzeneacetamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]- $\alpha$ -ethyl-, ( $\alpha$ S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 692246-00-7 HCAPLUS

CN Benzeneacetamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]- $\alpha$ -ethyl-, ( $\alpha$ R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 692246-04-1 HCAPLUS

CN Benzamide, 4-[[3-(benzoylmethylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

$$\begin{array}{c|c} & H \\ N \\ \hline \\ C \\ \hline \\ C \\ \hline \\ N-C-Ph \\ \\ Me O \\ \end{array}$$

RN 692246-08-5 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[3-[[(phenylamino)carbonyl]amino]phenyl]-4-

piperidinylidenemethyl] - (CA INDEX NAME)

RN 692246-12-1 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[3-[[(phenylmethyl)amino]carbonyl]amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

RN 692246-16-5 HCAPLUS

CN 1-Piperidinecarboxamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]- (CA INDEX NAME)

RN 692246-20-1 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[3-[(phenylsulfonyl)amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C & H \\ H \\ N \\ C & \\ NH-S-Ph \\ O \\ \end{array}$$

RN 692246-24-5 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[3-[[(phenylmethyl)sulfonyl]amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & H \\ & & & \\ & & & \\ Et_2N-C & & & \\ & &$$

RN 692246-28-9 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[3-(phenylamino)phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

$$\mathsf{Et}_2\mathsf{N}-\mathsf{C} \\ \\ \mathsf{N} \\ \\ \mathsf{N} \\ \\ \mathsf{N} \\ \mathsf{N$$

RN 692246-32-5 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[3-(methylphenylamino)phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & H \\ N \\ \hline \\ Et_2N - C \\ \hline \\ O \\ \end{array}$$

RN 692246-36-9 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[3-(ethylphenylamino)phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

$$\mathsf{Et}_2\mathsf{N} - \mathsf{C} \qquad \mathsf{Ph} \qquad \mathsf{Ph}$$

RN 692246-44-9 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[3-[[(1S)-1-phenylethyl]amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

Absolute stereochemistry.

$$\mathsf{Et}_2\mathsf{N} \qquad \qquad \mathsf{Ph} \qquad \mathsf{Ph}$$

RN 692246-48-3 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[3-[[(1R)-1-phenylethyl]amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 692246-52-9 HCAPLUS

CN Benzamide, 4-[[3-[[(1R)-1-cyclohexylethyl]amino]phenyl]-4-

Absolute stereochemistry.

RN 692246-56-3 HCAPLUS

CN Benzamide, 4-[[3-[[(1S)-1-cyclohexylethyl]amino]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

Absolute stereochemistry.

$$\mathsf{Et}_2\mathsf{N} \qquad \mathsf{Me}$$

RN 692246-60-9 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[3-[(1-methyl-1-phenylethyl)amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C & H \\ H \\ N \\ C & Ph \\ NH-C-Me \\ Me \end{array}$$

RN 692246-64-3 HCAPLUS

CN Benzamide, 4-[[3-(cyclohexylmethylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

RN 692246-76-7 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[3-[(2-ethyl-1-oxobutyl)amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\$$

RN 692246-80-3 HCAPLUS

CN 1H-Benzotriazole-5-carboxamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-1-methyl- (CA INDEX NAME)

$$Et_2N - C$$

$$0$$

$$NH - C$$

$$NH$$

RN 692246-85-8 HCAPLUS

CN 3-Pyridinecarboxamide, 6-chloro-N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]- (CA INDEX NAME)

RN 692246-89-2 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[3-[(2-methoxybenzoyl)amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C & H \\ H \\ N \\ C & NH - C \\ MeO \end{array}$$

RN 692246-93-8 HCAPLUS

CN 2-Quinoxalinecarboxamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]- (CA INDEX NAME)

RN 692246-97-2 HCAPLUS

CN Benzamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-2,5-difluoro- (CA INDEX NAME)

$$\begin{array}{c|c} C & H \\ H \\ Et_2N-C \\ \hline \\ C & NH-C \\ \hline \\ F \end{array}$$

RN 692247-01-1 HCAPLUS

CN 2-Thiophenecarboxamide, 3-chloro-N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]- (CA INDEX NAME)

RN 692247-05-5 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[3-[(3-methylbenzoyl)amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

$$\begin{array}{c|c}
C & H \\
H & N \\
C & NH - C
\end{array}$$

RN 692247-09-9 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[3-[[(methylphenylamino)carbonyl]amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

L5 ANSWER 8 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:906200 HCAPLUS

DOCUMENT NUMBER: 138:4523

TITLE: Preparation of 4-(phenyl-piperidin-4-ylidene-methyl)-

benzamides as  $\delta$  opioid receptor agonists for the treatment of pain, anxiety or gastrointestinal

disorders

INVENTOR(S): Wei, Zhongyong; Brown, William; Walpole,

Christopher

PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.

SOURCE: PCT Int. Appl., 35 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

P.	PATENT NO.								APPLICATION NO.							DATE			
w W	0 2002	2002094812								WO 2002-SE953									
	W:																, CH,		
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC	Ξ,	EE,	ES,	FI,	GB,	GD	, GE,	GH,	
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE	Ξ,	KG,	KP,	ĶR,	KZ,	LC	, LK,	LR,	
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN	I,	MW,	MX,	MZ,	NO,	ΝZ	, OM,	PH,	
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK	۲,	SL,	ТJ,	TM,	TN,	TR	, TT,	TZ,	
		UA,	ŪG,	US,	UZ,	VN,	YU,	ZA,	ZM,	ZW	7								
	RW:	GH,	GM,	ΚE,	LS,	MW,	ΜZ,	SD,	SL,	SZ	3,	ΤZ,	UG,	ZM,	ZW,	AT	, BE,	CH,	
		CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙF	Ξ,	IT,	LU,	MC,	NL,	PT	, SE,	TR,	
		BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GÇ	2,	GW,	ML,	MR,	ΝE,	SN	, TD,	ΤG	
									CA 2002-2446332										
							20021203 AU 2002-305992												
E	E 2003						20040216 EE 2003-540												
E	P 1395						2004	EP 2002-733710					20020516						
. <b>E</b>	P 1395	576			В1		2005	0831											
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		-	SI,	LT,	LV,		RO,												
C	N 1509	1509282					20040630 CN 2002-810195								20020516				
В	BR 2002009676				Α	A 20040727				BR 2002-9676 HU 2004-1096					20020516				
H	BR 2002009676 HU 2004001096 JP 2005510457				A2	A2 20040928				HU 2004-1096					20020516				
J	JP 2005510457				$\mathbf{T}$	T 20050421				JP 2002-591485						20020516			
_ A	AL 3U3313				1	1 20030913										20020516			
I	IN 2003MN01010				Α	A 20050624				IN 2003-MN1010						20031103			
	ZA 2003008633								ZA 2003-8633						20031105				
	BG 108326				A		2004			BG	20	03-:	1083	26			20031		
	US 2004142967						2004		ì	US	20	03-	4778	21			20031	113	
_					B2		2006												
	MX 2003PA10439													439			20031		
	IN 2004MN00262				A		2005	0429									20040		
PRIORI'	RIORITY APPLN. INFO.:																20010		
														3			20020		
											IN 2003-MN1010					A3 20031103			
	THER SOURCE(S):				CAS	REAC	T 13	8:452	23;	MAF	RPA	T 13	38:4	523					
GI																			

## \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

AB The title compds. [I; Rl = (un) substituted Ph, pyridyl, pyrrolyl, thienyl, furanyl, imidazolyl, triazolyl, thiazolyl and pyridyl N-oxide], useful in therapy, in particular in the management of pain, anxiety and functional gastrointestinal disorders, were prepared and formulated. Thus, treating the vinyl bromide II (5-step synthesis given) with TFA in CH2Cl2 followed by N-alkylation of the deprotected intermediate with PhCH2Br, and coupling of III with 3-aminophenylboronic acid afforded I [Rl = Ph]. The exemplified compds. I showed IC50 of 0.22-2.18 nM against  $\delta$  receptor binding.

IT 477185-74-3P 477185-75-4P 477185-76-5P 477185-77-6P 477185-78-7P 477185-79-8P 477185-80-1P 477185-81-2P

(preparation of 4-(phenyl-piperidin-4-ylidene-methyl)-benzamides as  $\delta$  opioid receptor agonists for the treatment of pain, anxiety or gastrointestinal disorders)

RN 477185-74-3 HCAPLUS

CN Benzamide, 4-[(3-aminophenyl)[1-(phenylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 477185-75-4 HCAPLUS

CN Benzamide, 4-[(3-aminophenyl)[1-(2-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

$$\begin{array}{c|c} O & H_2N \\ \parallel & \parallel \\ Et_2N-C & N-CH_2- \\ N & N \end{array}$$

RN 477185-76-5 HCAPLUS

CN Benzamide, 4-[(3-aminophenyl)[1-(4-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 477185-77-6 HCAPLUS

CN Benzamide, 4-[(3-aminophenyl)[1-(2-furanylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 477185-78-7 HCAPLUS

CN Benzamide, 4-[(3-aminophenyl)[1-(3-furanylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 477185-79-8 HCAPLUS

CN Benzamide, 4-[(3-aminophenyl)[1-(2-thienylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 477185-80-1 HCAPLUS

CN Benzamide, 4-[(3-aminophenyl)[1-(3-thienylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 477185-81-2 HCAPLUS

CN Benzamide, 4-[(3-aminophenyl)[1-(1H-pyrrol-2-ylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

$$CH_{2} - N$$

$$H_{2}N$$

$$C - NEt_{2}$$

IT 209807-69-2

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of 4-(phenyl-piperidin-4-ylidene-methyl)-benzamides as  $\delta$  opioid receptor agonists for the treatment of pain, anxiety or gastrointestinal disorders)

RN 209807-69-2 HCAPLUS

CN Benzamide, 4-[(3-aminophenyl)-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 9 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2002:906158 HCAPLUS

DOCUMENT NUMBER:

138:4531

TITLE:

Preparation of 4-(phenyl-piperidin-4-ylidene-methyl)benzamides as  $\delta$  opioid receptor agonists for the treatment of pain, anxiety or gastrointestinal

disorders

INVENTOR(S):

Brown, William; Walpole, Christopher; Wei,

Zhongyong

PATENT ASSIGNEE(S): SOURCE:

Astrazeneca Ab, Swed. PCT Int. Appl., 38 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

1

LANGUAGE: FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PA'	PATENT NO.					KIND		DATE		APPLICATION NO.					DATE		
	WO	WO 2002094786								WO 2002-SE954				20020516				
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,
			PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,
			UA,	UG,	US,	UZ,	VN,	ΥU,	ZA,	ZM,	zw							
		RW:	GH,	GM,	KE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	ŪG,	ZM,	ZW,	ΑT,	BE,	CH,
												IT,						
												. GW,						
					A1 20021128 CA													
	AU 2002307616				A1 20021203				AU 2002-307616				20020516					
	EE 200300527																	
	EP 1395559							EP 2002-771798										
		R:			•	•	•	•	•	•	•	IT,	LI,	LU,	NL,	SE,	MC,	PT,
								RO,										
,	CN 1509271				A 20040630				CN 2002-810186					20020516				
	BR 2002009677				A 20040727				BR 2002-9677					20020516				
	HU 2004001113																	
	JP 2005508292																	
	IN 2003MN01014																	
	ZA 2003008634																	
		1083				A		2004										
		2004						2004			US 2	2003-	4//8	5 I		2	0031	113
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		2003				A		2004	0309			2003-					0031	
٠.	PRIORIT	I APP.	ыN • .	TNEO	. :							2001-			_		0010	
(	OTHER SOURCE(S):				CASREACT 138:4531;					WO 2002-SE954 .; MARPAT 138:4531					N 2	0020	210	

GI

AB The title compds. [I; R1 = (un)substituted Ph, pyridyl, pyrrolyl, thienyl, furanyl, imidazolyl, triazolyl, thiazolyl and pyridyl N-oxide], useful in therapy, in particular in the management of pain, anxiety and functional gastrointestinal disorders, were prepared and formulated. E.g., two alternative multi-step prepns. of the benzamide I [R1 = Ph], were given. The exemplified compds. I showed IC50 of 0.78-4.85 nM against δ receptor binding.

Ι

TT 477185-85-6P 477185-86-7P 477185-87-8P 477185-88-9P 477185-89-0P 477185-90-3P 477185-91-4P 477185-92-5P 477185-93-6P 477185-94-7P 477185-95-8P 477185-96-9P 477185-97-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 4-(phenyl-piperidin-4-ylidene-methyl)-benzamides as  $\delta$  opioid receptor agonists for the treatment of pain, anxiety or gastrointestinal disorders)

RN 477185-85-6 HCAPLUS

CN Benzamide, 4-[(3-aminophenyl)[1-(phenylmethyl)-4-piperidinylidene]methyl]-N,N-bis(1-methylethyl)- (CA INDEX NAME)

RN 477185-86-7 HCAPLUS

CN Benzamide, 4-[(3-aminophenyl)[1-(2-pyridinylmethyl)-4-piperidinylidene]methyl]-N, N-bis(1-methylethyl)- (CA INDEX NAME)

RN 477185-87-8 HCAPLUS

CN Benzamide, 4-[(3-aminophenyl)[1-(4-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-bis(1-methylethyl)- (CA INDEX NAME)

RN 477185-88-9 HCAPLUS

CN Benzamide, 4-[(3-aminophenyl)[1-(2-furanylmethyl)-4-piperidinylidene]methyl]-N,N-bis(1-methylethyl)- (CA INDEX NAME)

RN 477185-89-0 HCAPLUS

CN Benzamide, 4-[(3-aminophenyl)[1-(3-furanylmethyl)-4-piperidinylidene]methyl]-N, N-bis(1-methylethyl)- (CA INDEX NAME)

RN 477185-90-3 HCAPLUS

CN Benzamide, 4-[(3-aminophenyl)[1-(2-thienylmethyl)-4-piperidinylidene]methyl]-N,N-bis(1-methylethyl)- (CA INDEX NAME)

RN 477185-91-4 HCAPLUS
CN Benzamide, 4-[(3-aminophenyl)[1-(3-thienylmethyl)-4piperidinylidene]methyl]-N,N-bis(1-methylethyl)- (CA INDEX NAME)

RN 477185-92-5 HCAPLUS
CN Benzamide, 4-[(3-aminophenyl)]1-(2-thiazolylmethyl)-4piperidinylidene]methyl]-N,N-bis(1-methylethyl)- (CA INDEX NAME)

Updated Search

RN 477185-93-6 HCAPLUS

CN Benzamide, 4-[(3-aminophenyl)[1-(1H-imidazol-2-ylmethyl)-4-piperidinylidene]methyl]-N,N-bis(1-methylethyl)- (CA INDEX NAME)

RN 477185-94-7 HCAPLUS

CN Benzamide, 4-[(3-aminophenyl)[1-(1H-imidazol-4-ylmethyl)-4-piperidinylidene]methyl]-N,N-bis(1-methylethyl)- (9CI) (CA INDEX NAME)

$$C-N(Pr-i)_2$$
 $N$ 
 $H_2N$ 

RN 477185-95-8 HCAPLUS

CN Benzamide, 4-[(3-aminophenyl)[1-[(4-methoxyphenyl)methyl]-4-piperidinylidene]methyl]-N,N-bis(1-methylethyl)- (CA INDEX NAME)

$$(i-Pr)_{2N}-C$$

$$N - CH_{2}$$
OMe

RN 477185-96-9 HCAPLUS

CN Benzamide, 4-[(3-aminophenyl)[1-[(4-bromophenyl)methyl]-4-piperidinylidene]methyl]-N,N-bis(1-methylethyl)- (CA INDEX NAME)

$$(i-Pr)_{2}N-C$$

$$N-CH_{2}$$

$$R$$

Updated Search

RN 477185-97-0 HCAPLUS

CN Benzamide, 4-[(3-aminophenyl)[1-(1H-pyrrol-2-ylmethyl)-4-piperidinylidene]methyl]-N, N-bis(1-methylethyl)- (CA INDEX NAME)

IT 477185-98-1P 477185-99-2P 477186-01-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 4-(phenyl-piperidin-4-ylidene-methyl)-benzamides as  $\delta$  opioid receptor agonists for the treatment of pain, anxiety or gastrointestinal disorders)

RN 477185-98-1 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[(3-aminophenyl)[4-[[bis(1-methylethyl)amino]carbonyl]phenyl]methylene]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 477185-99-2 HCAPLUS

CN Benzamide, 4-[(3-aminophenyl)-4-piperidinylidenemethyl]-N,N-bis(1-methylethyl)- (CA INDEX NAME)

RN 477186-01-9 HCAPLUS

CN Benzamide, 4-[(3-aminophenyl)-4-piperidinylidenemethyl]-N,N-bis(1-methylethyl)-, hydrochloride (2:7) (CA INDEX NAME)

●7/2 HCl

REFERENCE COUNT:

1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 10 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2000:653166 HCAPLUS

DOCUMENT NUMBER:

134:4837

TITLE:

N, N-Diethyl-4-(phenylpiperidin-4-

ylidenemethyl)benzamide: A Novel, Exceptionally Selective, Potent  $\delta$  Opioid Receptor Agonist with

Oral Bioavailability and Its Analogues

AUTHOR(S):

Wei, Zhong-Yong; Brown, William; Takasaki,

Bryan; Plobeck, Niklas; Delorme, Daniel; Zhou, Fei; Yang, Hua; Jones, Paul; Gawell, Lars; Gagnon, Helene; Schmidt, Ralf; Yue, Shi-Yi; Walpole, Chris; Payza, Kemal; St-Onge, Stephane; Labarre, Maryse; Godbout, Claude; Jakob, Andrea; Butterworth, Joanne; Kamassah,

Augustus; Morin, Pierre-Emmanuel; Projean, Denis;

Ducharme, Julie; Roberts, Edward

CORPORATE SOURCE:

Departments of Chemistry and Pharmacology, Astra Zeneca R&D Montreal, Saint-Laurent, QC, H4S 1Z9, Can.

SOURCE:

Journal of Medicinal Chemistry (2000), 43(21),

3895-3905

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER:

American Chemical Society

DOCUMENT TYPE:

Journal

LANGUAGE:

English

The design, synthesis, and pharmacol. evaluation of a novel class of  $\delta$  opioid receptor agonists, N,N-diethyl-4-(phenylpiperidin-4ylidenemethyl)benzamide (I) and its analogs, are described. compds., formally derived from SNC-80 by replacing the piperazine ring with a piperidine ring containing an exocyclic carbon carbon double bond, were found to bind with high affinity and exhibit excellent selectivity for the  $oldsymbol{\delta}$  opioid receptor as full agonists. I, the simplest structure in the class, exhibited an IC50 = 0.87 nM for the  $\delta$  opioid receptors and extremely high selectivity over the  $\mu$  receptors ( $\mu/\delta$  = 4370) and the  $\kappa$  receptors ( $\kappa/\delta$  = 8590). Rat liver microsome studies on a selected number of compds. show these olefinic piperidine compds. to be considerably more stable than SNC-80. This novel series of compds. appear to interact with  $\delta$  opioid receptors in a similar way to SNC-80 since they demonstrate similar SAR. Two general approaches have been established for the synthesis of these compds., based on dehydration of benzhydryl alcs. and Suzuki coupling reactions of vinyl bromide.

IT 209807-56-7P 209807-69-2P 307992-28-5P 307992-32-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of N,N-diethyl-4-(phenylpiperidin-4-ylidenemethyl)benzamide and its analogs as selective  $\delta$ -opioid receptor agonists)

RN 209807-56-7 HCAPLUS

CN Benzamide, N,N-diethyl-4-[(3-nitrophenyl)-4-piperidinylidenemethyl]- (CA INDEX NAME)

$$\begin{array}{c|c}
C & H \\
H & N \\
C & \\
NO2
\end{array}$$

RN 209807-69-2 HCAPLUS

CN Benzamide, 4-[(3-aminophenyl)-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

$$\begin{array}{c|c} H & O \\ \parallel & C - NEt_2 \end{array}$$

RN 307992-28-5 HCAPLUS

CN Benzamide, N,N-diethyl-4-[(3-nitrophenyl)-4-piperidinylidenemethyl]-, hydrochloride (5:7) (CA INDEX NAME)

$$\mathsf{Et}_2\mathsf{N}-\mathsf{C} \qquad \qquad \mathsf{N} \\ \mathsf{N} \\$$

●7/5 HCl

RN 307992-32-1 HCAPLUS

CN Benzamide, 4-[(3-aminophenyl)-4-piperidinylidenemethyl]-N,N-diethyl-, hydrochloride (5:6) (CA INDEX NAME)

$$\begin{array}{c|c} H & O \\ \parallel & \parallel \\ C-NEt_2 \end{array}$$

●6/5 HCl

REFERENCE COUNT:

THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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FILE LAST UPDATED: 01 May 1997 (19970501/UP)

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